WEST Search History

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DATE: Wednesday, March 14, 2007

Hide?	Set Name	Query	Hit Count
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☐	L1	(WU AND BUI).IN.	4

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L1      STRUCTURE UPLOADED

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L1              STR
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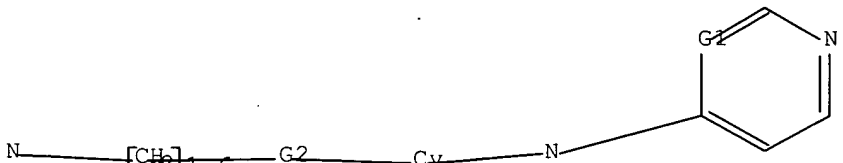


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G1 C,N
G2 O,S,N


Structure attributes must be viewed using STN Express query preparation.


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=> s l1 full
L3          302 SEA SSS FUL L1

=> file caplus

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                (PD<20030200)
L5           10 L4 AND PD< FEB 2003

=> dis l5 1-10 bib abs hitstr

L5   ANSWER 1 OF 10  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2005:1004351  CAPLUS  Full-text
DN   143:306328
TI   Preparation of 4-pyrimidinamines as neuroprotectants.
IN   Benjamin, Elfrida R.; Brown, Frank K.; Zivin, Robert Allan; McMillan,
     Michael Kurt; Zhong, Zhong; Reitz, Allen B.; Ross, Tina Morgan
PA   USA
SO   U.S. Pat. Appl. Publ., 45 pp., Cont.-in-part of U.S. Ser. No. 922,874,
     abandoned.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 2
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   US 2005203092        A1    20050915    US 2004-987562         20041112
     US 2003008883        A1    20030109    US 2001-922874         20010806 <--
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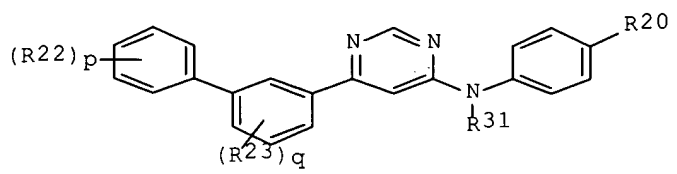
	US 2003212079	A1	20031113	US 2003-396158	20030325
	US 2004006094	A1	20040108	US 2003-395971	20030325
PRAI	US 2000-223791P	P	20000808		
	US 2001-922874	B2	20010806		

OS MARPAT 143:306328

GI



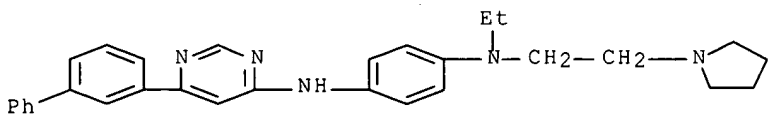
I

AB This invention provides novel neuroprotective 4-pyrimidineamine derivs. (I, variables defined below) and neuroprotective pharmaceutical compns. comprising 4-pyrimidinamines. This invention also provides methods of using these compns. to prevent ischemic cell death, particularly neuronal cell death, and reduce the likelihood of neuronal cell death in a subject due to a traumatic event. Thus, a mixture of N-(2-aminoethyl)-N'-(6- biphenyl-3-ylpyrimidin-4-yl)-N-ethylbenzene-1,4-diamine (preparation given), N-benzoylalanine, diisopropylethylamine, HBTU, and DMF was stirred overnight at room temperature to give N-[1-[[2-[4-(6-biphenyl-3-ylpyrimidin-4-ylamino)phenyl]ethylamino]ethylcarbamoyl]ethyl]benzamide. Tested compds. in a differentiated P19 cell assay using 3 mM glutamate showed neuroprotectant activity with IC50 = 0.07 μM to >1 μM. For I the variables are: R20 = disubstituted amino; R21 = H, alkyl, aryl, aralkyl, alkylcarbonyl, arylcarbonyl and aralkylcarbonyl, wherein the aryl portion is optionally substituted; p = 0-3; q = 0-3; R22 and R23 = halogen, alkyl, alkoxy, amino, alkylamino, dialkylamino, nitro, cyano, carboxy, alkoxycarbonyl, aryloxycarbonyl, aminocarbonyl, alkylaminocarbonyl and dialkylaminocarbonyl.

IT 397850-89-4P 397850-90-7P 397850-91-8P
397850-92-9P 397850-93-0P 397850-94-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-pyrimidinamines as neuroprotectants)

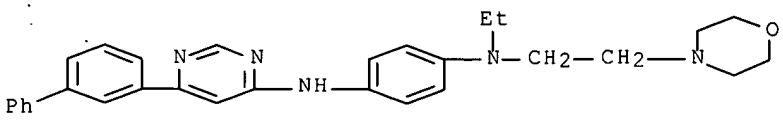
RN 397850-89-4 CAPLUS

CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

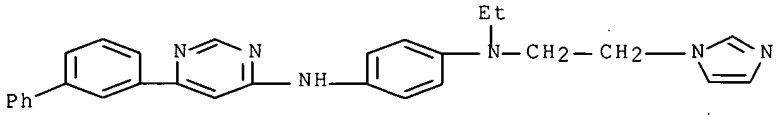


RN 397850-90-7 CAPLUS

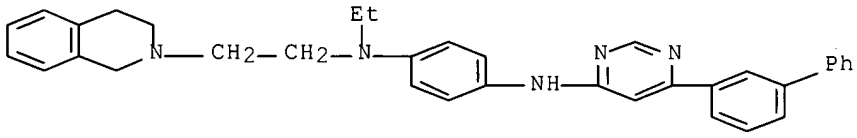
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



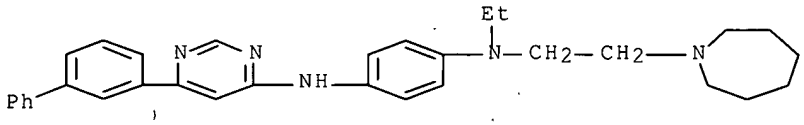
RN 397850-91-8 CAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-(1H-imidazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)



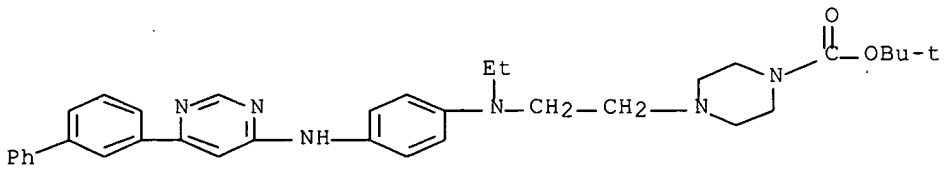
RN 397850-92-9 CAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-(3,4-dihydro-2(1H)-isoquinolinyl)ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 397850-93-0 CAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-(hexahydro-1H-azepin-1-yl)ethyl]- (9CI) (CA INDEX NAME)



RN 397850-94-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:927413 CAPLUS Full-text
DN 138:14070
TI CDK inhibiting pyrimidines
IN Brumby, Thomas; Jautelat, Rolf; Prien, Olaf; Schaefer, Martina; Siemeister, Gerhard; Luecking, Ulrich; Huwe, Christoph
PA Schering Aktiengesellschaft, Germany
SO PCT Int. Appl., 240 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002096888	A1	20021205	WO 2002-EP5669	20020523 <--
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10127581	A1	20030102	DE 2001-10127581	20010529 <--
	DE 10212098	A1	20031023	DE 2002-10212098	20020311
	CA 2449118	A1	20021205	CA 2002-2449118	20020523 <--
	EP 1392662	A1	20040303	EP 2002-738100	20020523
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	BR 2002009774	A	20040601	BR 2002-9774	20020523
	JP 2004535414	T	20041125	JP 2003-500067	20020523
	CN 1633419	A	20050629	CN 2002-814886	20020523
	NZ 529654	A	20051223	NZ 2002-529654	20020523
	US 2004102630	A1	20040527	US 2002-156759	20021107
	IN 2003DN02240	A	20060120	IN 2003-DN2240	20031222
	US 2004224966	A1	20041111	US 2004-842419	20040511
PRAI	DE 2001-10127581	A	20010529		
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OS MARPAT 138:14070
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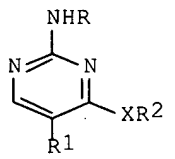


I

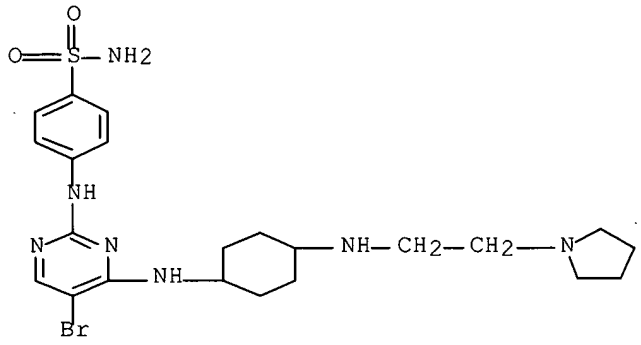
AB Pyrimidines I [R = (un)substituted Ph; R1 = H, halogen, (un)substituted alkyl, NO2, acyl, OCF3, SCF3, SO2CF3; R2 = (un)substituted alkyl, alkenyl, alkynyl; X

= O, (un)substituted NH, cycloalkoxy; XR2 = (un)substituted cycloalkyl, heterocyclic] were prepared as inhibitors of the cyclin-dependent kinase. Thus, 2-chloro-4-propargylaminopyrimidine was treated with 4-F2CHSC6H4NH2.HCl to give I [X = NH, R = 4-F2CHSC6H4, R1 = Br, R2 = CH2C.tplbond.CH] which had IC50 for inhibition of CDK2 of 180 nM and for inhibition of MCF7 tumor cell proliferation of 3 μM.

IT 477589-17-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and cyclin-dependent kinase inhibition of arylaminopyrimidines)

RN 477589-17-6 CAPLUS

CN Benzenesulfonamide, 4-[[5-bromo-4-[[4-[[2-(1-pyrrolidinyl)ethyl]amino]cyclohexyl]amino]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:781497 CAPLUS Full-text
DN 137:262957
TI Preparation of aryloxyalkylamines as histamine H3 receptor modulators
IN Schwartz, Jean-Charles; Arrang, Jean-Michel; Garbarg, Monique; Lecomte, Jeanne-Marie; Ligneau, Xavier; Schunack, Walter G.; Stark, Holger; Ganellin, Charon Robin; Leurquin, Fabien; Sigurd, Elz
PA Societe Civile Bioprojet, Fr.
SO PCT Int. Appl., 195 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000006254	A2	20000210	WO 1999-EP5744	19990729 <--
WO 2000006254	A3	20000504		

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RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	EP 978512	A1	20000209	EP 1998-401944	19980729 <--
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PRAI	EP 1998-401944	A	19980729		
	EP 1998-403351	A	19981231		
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	US 2001-622199	A3	20010531		
	US 2004-856838	A3	20040601		

OS MARPAT 137:262957

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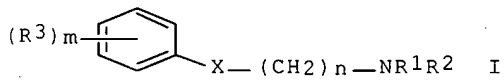


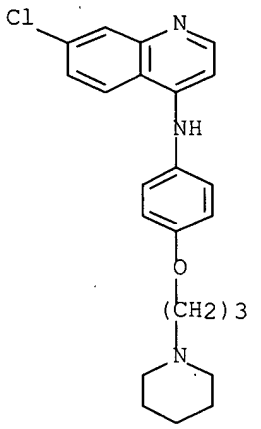
I

AB The title non-imidazole compds. I [wherein n = 2-8; m = 0-5; X = O, S; R1, R2 = alkyl, cycloalkyl; NR1R2 = (unsatd.) N-containing ring, substituted piperazino; R3 = halo, alkyl, cycloalkyl, CF3, aryl, alkoxy; aryloxy, NO2, CHO, alkanoyl, aroyl, aralkanoyl, amino, carboxamido, cyano, alkoximino, alkenyl, alkynyl, etc.; and their pharmaceutically acceptable salts, hydrates, polymorphic crystalline structures,optical isomers, racemates, diastereoisomers, and enantiomers] were prepared as antagonists and/or agonists of the histamine H3-receptors. Thus, 1-[3-(4-cyanophenoxy)prg167opyl]piperidine hydrogen oxalate (general preparation given) increased telemethylhistamine in mice with ED50 = 0.20 mg/kg orally. I are useful for the treatment of central nervous system disorders, in particular Alzheimer's disease, mood and attention alterations, cognitive deficits in psychiatric pathologies, obesity, vertigo, and motion sickness (no data).

IT 462115-97-5P, 7-Chloro-4-[[4-(3-piperidinopropoxy)phenyl]amino]quinoline 462115-98-6P, 7-Chloro-4-[[4-(3-piperidinopropoxy)phenyl]amino]quinoline dioxalate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(H3 receptor modulator; preparation of aryloxyalkylamines as histamine H3 receptor modulators)

RN 462115-97-5 CAPLUS

CN 4-Quinolinamine, 7-chloro-N-[4-[3-(1-piperidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 462115-98-6 CAPLUS
CN 4-Quinolinamine, 7-chloro-N-[4-[3-(1-piperidinyl)propoxy]phenyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

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CRN 462115-97-5
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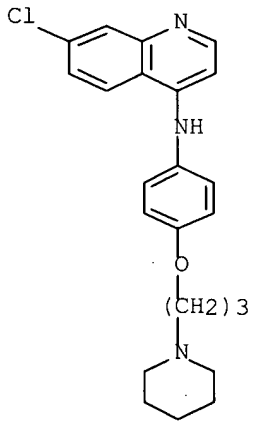

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CRN 144-62-7
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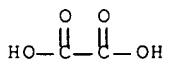

L5 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:122964 CAPLUS Full-text
DN 136:167384
TI Preparation of 4-pyrimidinamines as neuroprotectants.
IN Grant, Elfrida R.; Brown, Frank K.; Zivin, Robert Allan; McMillan, Michael; Zhong, Zhong; Scott, Malcolm; Reitz, Allen B.; Ross, Tina Morgan
PA Ortho-McNeil Pharmaceutical, Inc., USA
SO PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002012198	A2	20020214	WO 2001-US24659	20010806 <--
WO 2002012198	A3	20020606		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2419030	A1	20020214	CA 2001-2419030	20010806 <--
AU 200181120	A	20020218	AU 2001-81120	20010806 <--
EP 1313713	A2	20030528	EP 2001-959581	20010806
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BR 2001013165	A	20030715	BR 2001-13165	20010806
JP 2004505952	T	20040226	JP 2002-518176	20010806
NZ 524100	A	20050128	NZ 2001-524100	20010806
IN 2003KN00137	A	20050311	IN 2003-KN137	20030203
ZA 2003001868	A	20040625	ZA 2003-1868	20030306
PRAI US 2000-223791P	P	20000808		
WO 2001-US24659	W	20010806		

OS MARPAT 136:167384
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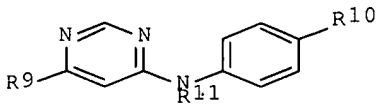


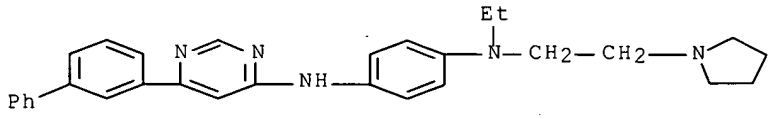
I

AB Pharmaceutical compns. comprising a pharmaceutically acceptable carrier [I; R9 = H, thienyl, furanyl, pyrrolyl, (substituted) Ph, pyridinyl, pyridinyl, naphthyl, benzo[b]thien-2-yl, 2-benzofuranyl, pyrimidinyl, 2,4-bis(methoxyphenyl)-5-pyrimidinyl; R10 = cyanoalkyl, alkylamino, dialkylamino, hydroxyalkylamino, hydroxydialkylamino; R11 = H, alkyl], are claimed. Thus, a mixture of N-(2-aminoethyl)-N'-(6-biphenyl-3-ylpyrimidin-4- yl)-N-ethylbenzene-1,4-diamine (preparation given), N-benzoylalanine, diisopropylethylamine, HBTU, and DMF was stirred overnight at room temperature to give N-[1-[[2-[4-(6-biphenyl-3-ylpyrimidin-4-ylamino)phenyl]ethylamino]ethylcarbamoyl]ethyl]benzamide. Tested compds. in a

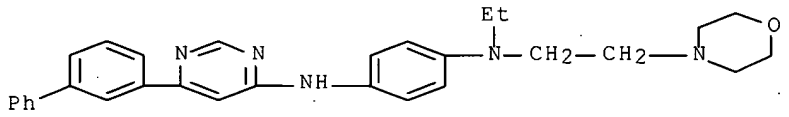
differentiated P19 cell assay using 3 mM glutamate showed neuroprotectant activity with IC50 = 0.07 μM to >1 μM.

IT 397850-89-4P 397850-90-7P 397850-91-8P
397850-92-9P 397850-93-0P 397850-94-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-pyrimidinamines as neuroprotectants)

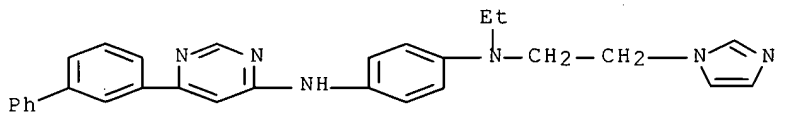
RN 397850-89-4 CAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



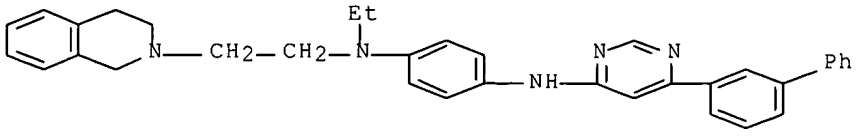
RN 397850-90-7 CAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 397850-91-8 CAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-(1H-imidazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

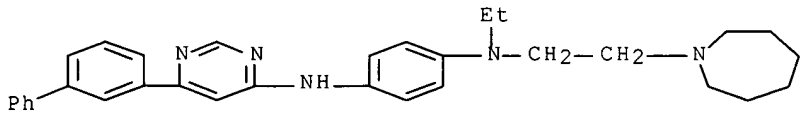


RN 397850-92-9 CAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-(3,4-dihydro-2(1H)-isoquinolinyl)ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



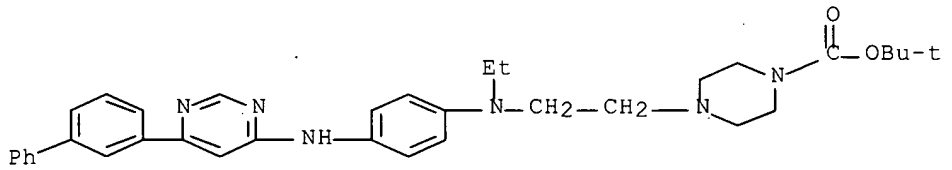
RN 397850-93-0 CAPLUS

CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-(hexahydro-1H-azepin-1-yl)ethyl]- (9CI) (CA INDEX NAME)



RN 397850-94-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:96216 CAPLUS Full-text

DN 136:303568

TI Development of a New Class of Nonimidazole Histamine H3 Receptor Ligands with Combined Inhibitory Histamine N-Methyltransferase Activity

AU Apelt, Joachim; Ligneau, Xavier; Pertz, Heinz H.; Arrang, Jean-Michel; Ganellin, C. Robin; Schwartz, Jean-Charles; Schunack, Walter; Stark, Holger

CS Institut fuer Pharmazie, Freie Universitaet Berlin, Berlin, 14195, Germany

SO Journal of Medicinal Chemistry (2002), 45(5), 1128-1141
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

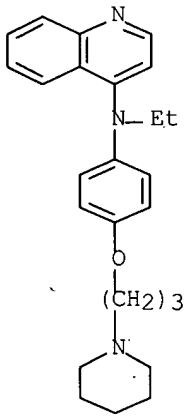
OS CASREACT 136:303568

AB In search of novel ways to enhance histaminergic neurotransmission in the central nervous system, a new class of nonimidazole histamine H3 receptor ligands were developed that simultaneously possess strong inhibitory activity on the main histamine metabolizing enzyme, histamine N-methyltransferase (HMT). The novel compds. contain an aminoquinoline moiety, which is an important structural feature for HMT inhibitory activity, connected by different spacers to a piperidino group (for H3 receptor antagonism). Variation of the spacer structure provides two different series of compds. One series, having only an alkylene spacer between the basic centers, led to highly potent HMT inhibitors with moderate to high affinity at human histamine H3 receptors. The second series possesses a p-phenoxypropyl spacer, which may be extended by another alkylene chain. This latter series also showed strong inhibitory activity on HMT, and in most cases, the H3 receptor affinity even surpassed that of the first series. One of the most potent compds. with this dual mode of action is 4-(4-(3-piperidinopropoxy)phenylamino)quinolin e (hH3, Ki = 0.09 nM; HMT, IC50 = 51 nM). This class of compds. showed high antagonist potency and good H3 receptor selectivity in functional assays in

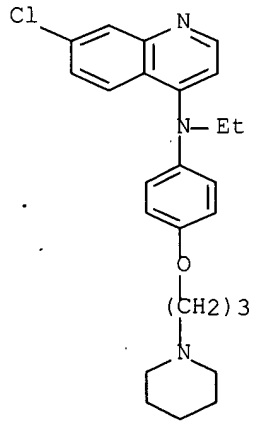
guinea pig on H1, H2, and H3 receptors. Because of low or missing in vivo activity of two selected compds., the proof of concept of these valuable pharmacol. tools for the supposed superior overall enhancing effect on histaminergic neurotransmission failed to appear hitherto.

IT 409127-67-9P 409127-68-0P 409127-69-1P
409127-70-4P 409127-72-6P 409127-75-9P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(nonimidazole histamine H3 receptor ligands preparation with combined inhibitory histamine N-methyltransferase activity)

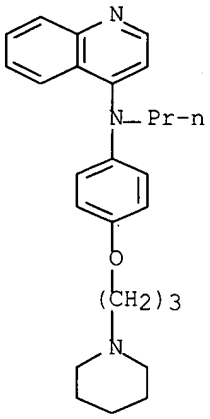
RN 409127-67-9 CAPLUS
CN 4-Quinolinamine, N-ethyl-N-[4-[3-(1-piperidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



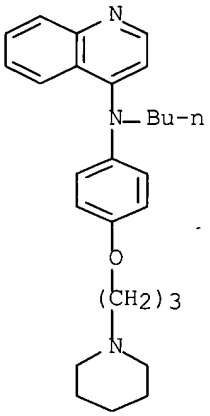
RN 409127-68-0 CAPLUS
CN 4-Quinolinamine, 7-chloro-N-ethyl-N-[4-[3-(1-piperidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



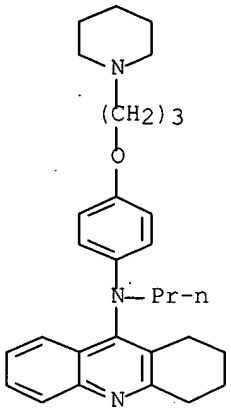
RN 409127-69-1 CAPLUS
CN 4-Quinolinamine, N-[4-[3-(1-piperidinyl)propoxy]phenyl]-N-propyl- (9CI) (CA INDEX NAME)



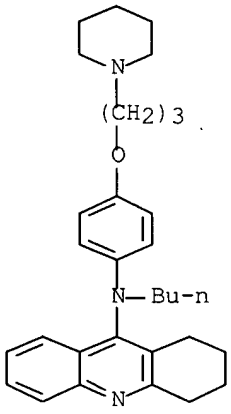
RN 409127-70-4 CAPLUS
CN 4-Quinolinamine, N-butyl-N-[4-[3-(1-piperidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 409127-72-6 CAPLUS
CN 9-Acridinamine, 1,2,3,4-tetrahydro-N-[4-[3-(1-piperidinyl)propoxy]phenyl]-N-propyl- (9CI) (CA INDEX NAME)



RN 409127-75-9 CAPLUS
CN 9-Acridinamine, N-butyl-1,2,3,4-tetrahydro-N-[4-[3-(1-piperidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:10480 CAPLUS Full-text
DN 136:85818
TI Preparation of pyrrolo[2,3-d]pyrimidines as immunosuppressive agents
IN Blumenkopf, Todd Andrew; Flanagan, Mark Edward; Munchhof, Michael John
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002000661	A1	20020103	WO 2001-IB975	20010605 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

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            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
            RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
            UZ, VN, YU, ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    CA 2412560          A1    20020103    CA 2001-2412560        20010605 <--
    EP 1294724          A1    20030326    EP 2001-934243         20010605
    EP 1294724          B1    20060419
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
    HU 200301114        A2    20030828    HU 2003-1114           20010605
    BR 2001011561       A     20030909    BR 2001-11561          20010605
    JP 2004501922       T     20040122    JP 2002-505785         20010605
    EE 200200711        A     20040615    EE 2002-711            20010605
    NZ 522364           A     20040924    NZ 2001-522364         20010605
    AU 784297           B2    20060302    AU 2001-60538          20010605
    AT 323704           T     20060515    AT 2001-934243         20010605
    PT 1294724          T     20060731    PT 2001-934243         20010605
    ES 2257410          T3    20060801    ES 2001-1934243        20010605
    EP 1686130          A1    20060802    EP 2006-7969           20010605
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            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
    TW 243820           B     20051121    TW 2001-90115016       20010620
    US 2002068746       A1    20020606    US 2001-891028         20010625 <--
    US 6696567          B2    20040224
    IN 2002DN01075      A     20050128    IN 2002-DN1075         20021030
    BG 107236           A     20030930    BG 2002-107236         20021031
    NO 2002006030       A     20021216    NO 2002-6030           20021216 <--
    ZA 2002010275       A     20031219    ZA 2002-10275          20021219
    US 2003220353       A1    20031127    US 2003-463724         20030616
    US 6962993          B2    20051108
    US 2005197349       A1    20050908    US 2005-112307         20050421
PRAI US 2000-214287P    P     20000626
    EP 2001-934243      A3    20010605
    WO 2001-IB975       W     20010605
    US 2001-891028      A1    20010625
    US 2003-463724      A1    20030616
OS  MARPAT 136:85818
GI
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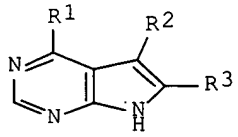

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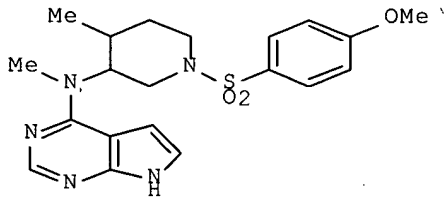


II

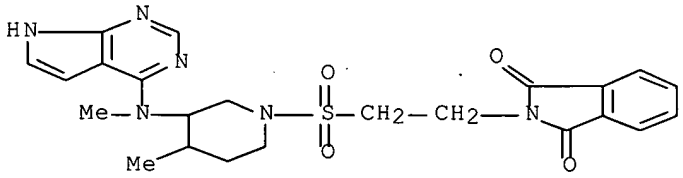
AB The title compds. [I; R1 = NR4(CH2)yR5 (wherein y = 0-2; R4 = H, alkyl, alkylsulfonyl, etc.; R5 = substituted heterocycloalkyl); R2, R3 = H, NH2, halo, etc.], useful as inhibitors of protein kinases, such as the enzyme Janus

Kinase 3 (no data given), were prepared, e.g., a multi-step synthesis of II was given.

IT 384335-19-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolo[2,3-d]pyrimidines as immunosuppressive agents)

RN 384335-19-7 CAPLUS

CN 3-Piperidinamine, 1-[[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]sulfonyl]-N,4-dimethyl-N-1H-pyrrolo[2,3-d]pyrimidin-4-yl- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:457043 CAPLUS Full-text
DN 133:89537
TI Preparation of 2,4-pyrimidinediamine derivatives as anticancer agents
IN Bradbury, Robert Hugh; Breault, Gloria Anne; Jewsbury, Philip John; Pease, Janet Elizabeth
PA Astrazeneca UK Limited, UK
SO PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000039101	A1	20000706	WO 1999-GB4325	19991220 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2352896	A1	20000706	CA 1999-2352896	19991220 <--
EP 1140860	A1	20011010	EP 1999-962375	19991220 <--
EP 1140860	B1	20040922		
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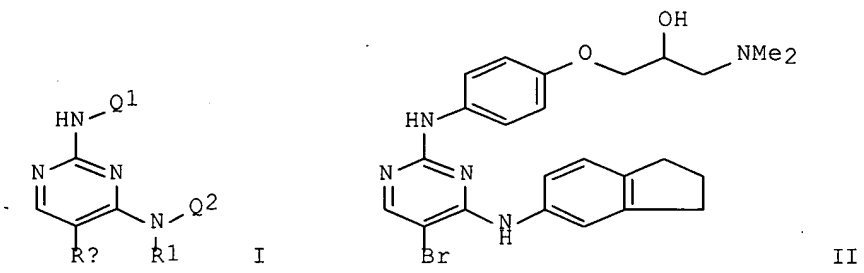
BR 9916590	A	20011023	BR 1999-16590	19991220 <--
JP 2002533446	T	20021008	JP 2000-591012	19991220 <--
AU 763091	B2	20030710	AU 2000-18743	19991220
NZ 512118	A	20030829	NZ 1999-512118	19991220
AT 277020	T	20041015	AT 1999-962375	19991220
PT 1140860	T	20050131	PT 1999-962375	19991220

	ES 2228145	T3	20050401	ES 1999-962375	19991220
	ZA 2001004413	A	20020829	ZA 2001-4413	20010529 <--
	NO 2001003038	A	20010822	NO 2001-3038	20010619 <--
	NO 319815	B1	20050919		
	US 6593326	B1	20030715	US 2001-868602	20010823
PRAI	GB 1998-28511	A	19981224		
	WO 1999-GB4325	W	19991220		

OS MARPAT 133:89537

GI



I II

AB The present invention relates to the title compds. (I) [wherein R1 = H, (un)substituted alkyl, alkenyl, or alkynyl, benzyl, 2-phenylethyl, phthalimidoalkyl, or cycloalkylalkyl; Rx = halo, OH, NO2, NH2, CN, SH, CO2H, SO2NH2, NHCHO, ureido, etc.; Q1 and Q2 = independently (un)substituted aryl, 5- or 6-membered monocycle, or 9- or 10-membered bicyclic heterocycle], processes for their manufacture, and pharmaceutical compns. containing them. For example, addition of 4-[2-hydroxy-3-(N,N-dimethylamino)propoxy]aniline•HCl in MeOH to 5-bromo-2-chloro-4-(indan- 5-ylamino)pyrimidine in BuOH (prepns. given) and heating to 100°C for 18 h gave II (42%). I inhibited the effects of cylin-dependent serine/threonine kinases (CDKs), showing selectivity for CDK2 (no data), CDK4 (IC50 ranging from 0.02 μM to 0.07 μM), and CDK6 (no data). In a tyrosine kinase activity assay using Sf21 cells transfected with plaque-pure FAK recombinant virus, I also inhibited focal adhesion kinase 3 (FAK3) with IC50 ranging from 0.032 μM to 0.07 μM. Typical IC50 values for I when tested for inhibition of cell growth in an Sulforhodamine B (SRB) assay were in the range of 1 mM to 1 nM. Thus, I possess anti-cancer properties, including anti-cell-migration, antiproliferation and/or apoptotic properties. Such properties are expected to be of value in the treatment of disease states associated with aberrant cell cycles and cell proliferation such as cancers (solid tumors and leukemias), fibroproliferative and differentiative disorders, psoriasis, rheumatoid arthritis, Kaposi's sarcoma, hemangioma, acute and chronic nephropathies, atheroma, atherosclerosis, arterial restenosis, autoimmune diseases, acute and chronic inflammation, bone diseases, and ocular diseases with retinal vessel proliferation.

IT 280579-57-9P 280579-58-0P

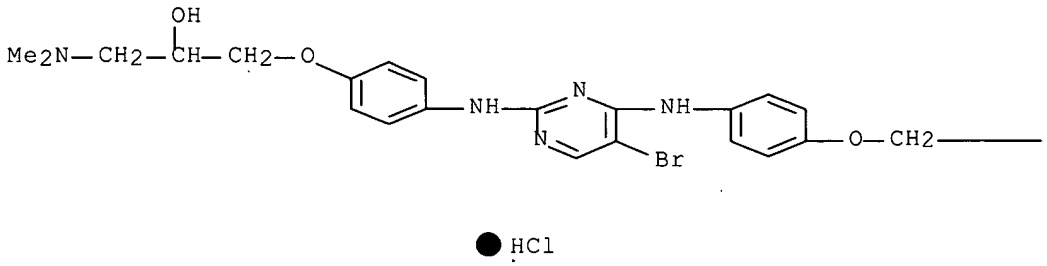
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,4-pyrimidinediamine anticancer agents by coupling halopyrimidines with anilines and optional derivatization)

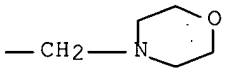
RN 280579-57-9 CAPLUS

CN 2-Propanol, 1-[4-[[5-bromo-4-[[4-[2-(4-morpholinyl)ethoxy]phenyl]amino]-2-pyrimidinyl]amino]phenoxy]-3-(dimethylamino)-, monohydrochloride (9CI) (CA INDEX NAME)

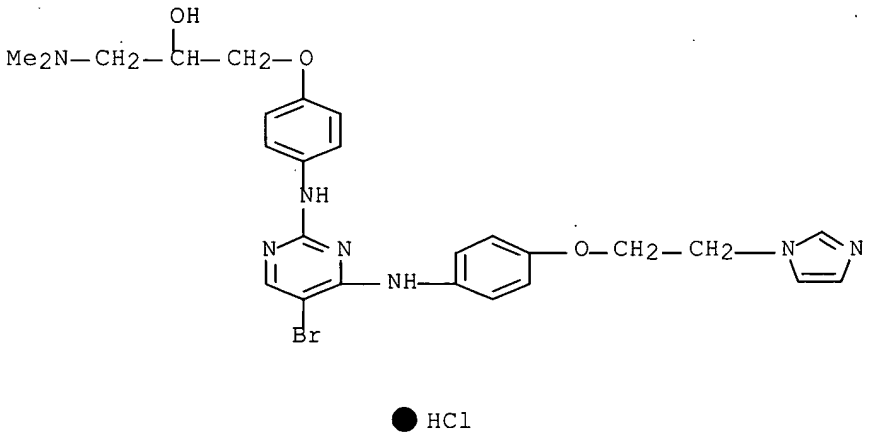
PAGE 1-A



PAGE 1-B



RN 280579-58-0 CAPLUS
CN 2-Propanol, 1-[4-[[5-bromo-4-[[4-[2-(1H-imidazol-1-yl)ethoxy]phenyl]amino]-2-pyrimidinyl]amino]phenoxy]-3-(dimethylamino)-, monohydrochloride (9CI) (CA INDEX NAME)



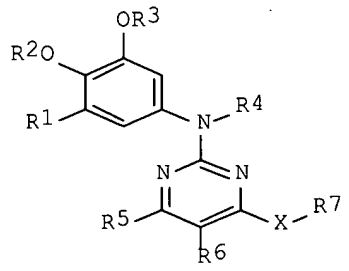
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1997:457074 CAPLUS Full-text
DN 127:81461
TI Preparation of substituted 2-anilinopyrimidines as protein kinase inhibitors
IN Davis, Peter David; Moffat, David Festus Charles; Davis, Jeremy Martin; Hutchings, Martin Clive
PA Celltech Therapeutics Limited, UK; Davis, Peter David; Moffat, David

Festus Charles; Davis, Jeremy Martin; Hutchings, Martin Clive
SO PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9719065	A1	19970529	WO 1996-GB2854	19961120 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5958935	A	19990928	US 1996-753041	19961119 <--
AU 9676314	A	19970611	AU 1996-76314	19961120 <--
EP 862560	A1	19980909	EP 1996-939171	19961120 <--
EP 862560	B1	20030402		
R: CH, DE, ES, FR, GB, IT, LI				
ES 2195020	T3	20031201	ES 1996-939171	19961120
US 6235746	B1	20010522	US 1999-249760	19990216 <--
PRAI GB 1995-23675	A	19951120		
US 1996-753041	A3	19961119		
WO 1996-GB2854	W	19961120		

OS MARPAT 127:81461
GI



I

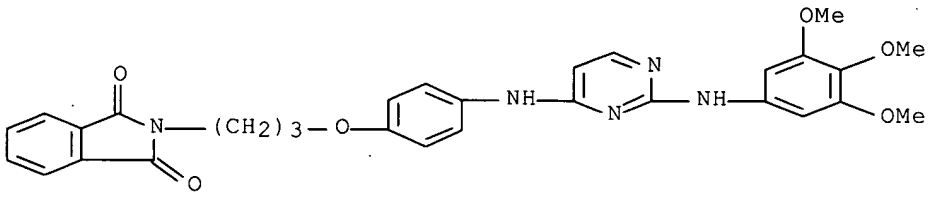
AB The title compds. [I; R1 = H, halo, (un)substituted alkyl, etc.; R2, R3 = (un)substituted alkyl, alkenyl, alkynyl; R4 = H, alkyl; R5 = H, (un)substituted alkyl, alkenyl, alkynyl; R6 = H, halo, (un)substituted NH2, etc.; X = a direct bond, a linker atom, group; R7 = (un)substituted aliphatic, cycloaliph., heteroaliph., heterocycloaliph., aromatic or heteroarom. group], selective protein kinase inhibitors, particularly the kinases p56lck, p59fyn, ZAP-70 and protein kinase C, and useful in the prophylaxis and treatment of immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to have a role, were prepared Thus, treatment of 4-[3-(3-phthalimidopropoxy)phenyl]- N-(3,4,5-trimethoxyphenyl)-2-pyrimidineamine with N2H4.H2O in EtOH afforded I.2HCl [R1 = MeO; R2, R3 = Me; R4-R6 = H; R7 = H2N(CH2)3; X = O] which showed IC50 of 22 nM in the protein kinase assay.

IT 191729-38-1
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted 2-anilinopyrimidines as protein kinase inhibitors)

RN 191729-38-1 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[4-[[2-[(3,4,5-trimethoxyphenyl)amino]-4-pyrimidinyl]amino]phenoxy]propyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1997:119216 CAPLUS Full-text

DN 126:131749

TI Preparation of water-soluble nucleoside analogs as adenosine kinase inhibitors

IN Ugarkar, Bheemarao G.; Erion, Mark D.; Galeno, Jorge E. Gomez

PA Gensia Inc., USA

SO PCT Int. Appl., 106 pp.
CODEN: PIXXD2

DT Patent

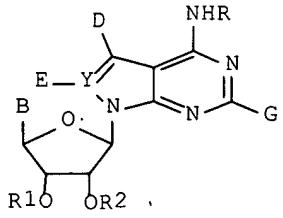
LA English

FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640707	A1	19961219	WO 1996-US10956	19960607 <--
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	US 5726302	A	19980310	US 1995-473492	19950607 <--
	AU 9664790	A	19961230	AU 1996-64790	19960607 <--
	EP 836613	A1	19980422	EP 1996-924302	19960607 <--
	EP 836613	B1	20050525		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 11509181	T	19990817	JP 1996-502319	19960607 <--
	BR 9609011	A	19991214	BR 1996-9011	19960607 <--
	AT 296309	T	20050615	AT 1996-924302	19960607
PRAI	US 1995-473492	A	19950607		
	US 1989-408707	B2	19890918		
	US 1990-466979	B2	19900118		
	US 1991-647117	B2	19910123		
	US 1991-812916	B2	19911223		
	WO 1996-US10956	W	19960607		

OS MARPAT 126:131749

GI



I

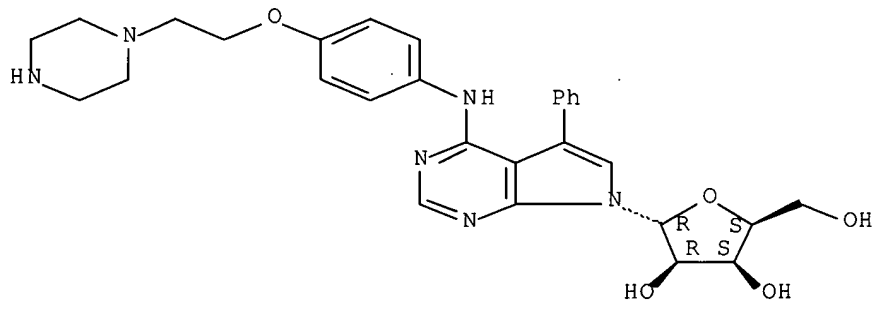
AB This invention relates to adenosine kinase inhibitors and to nucleoside analogs specifically to orally active, substituted 5-aryl pyrrolo[2,3-d] pyrimidine and 3-aryl pyrazolo[3,4-d] pyrimidine nucleoside analogs having activity as adenosine kinase inhibitors. The invention also relates to the preparation and use of these and other adenosine kinase inhibitors in the treatment of cardiovascular and cerebrovascular disease, inflammation and other diseases which can be regulated by increasing the local concentration of adenosine. Water-soluble nucleoside analogs I [R = (un)substituted aryl; R1,R2 = H, acyl, cyclic carbonate; B = alkenyl, alkyl, ether, aminoalkyl, azidoalkyl; D = halo, alkyl, alkenyl, cyano, carboxamido; E, G = H, halogen] were prepared as adenosine kinase inhibitors. Thus, 4-N-(4-guanidinophenyl)amino-5-phenyl-7-(5-deoxy-1-β-D- ribofuranosyl)pyrrolo[2,3-d]pyrimidine was prepared as adenosine kinase inhibitor (IC50= 6 nmol) and anticonvulsant (ED50 = 5.0 mg/kg).

IT 186301-11-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of water-soluble nucleoside analogs as adenosine kinase inhibitors)

RN 186301-11-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-α-L-lyxofuranosyl-5-phenyl-N-[4-[2-(1-piperazinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



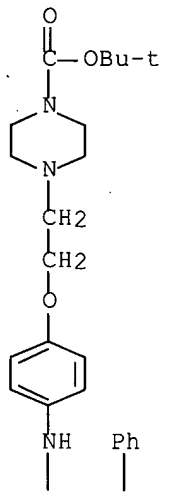
IT 186301-12-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of water-soluble nucleoside analogs as adenosine kinase inhibitors)

RN 186301-12-2 CAPLUS

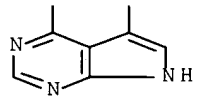
CN 1-Piperazinecarboxylic acid, 4-[2-[4-[(5-phenyl-1H-pyrrolo[2,3-d]pyrimidin-

4-yl)amino]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



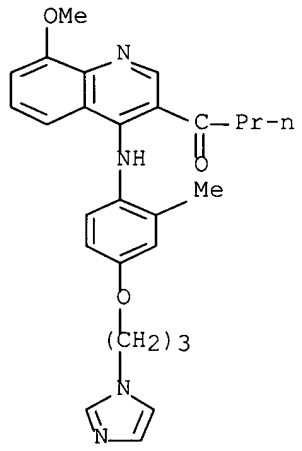
L5 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1995:666969 CAPLUS Full-text
DN 123:55671
TI Reversible Inhibitors of the Gastric (H+/K+)-ATPase. 4. Identification of an Inhibitor with an Intermediate Duration of Action
AU Leach, Colin A.; Brown, Thomas H.; Ife, Robert J.; Keeling, David J.; Parsons, Michael E.; Theobald, Colin J.; Wiggall, Kenneth J.
CS SmithKline Beecham Pharmaceuticals, Welwy/ Herts., AL6 9AR, UK
SO Journal of Medicinal Chemistry (1995), 38(14), 2748-62
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB 3-Acyl-4-(arylamino)quinolines were previously identified as gastric (H+/K+)-ATPase inhibitors, and clin. efficacy has been demonstrated for compound SK&F 96067. In the present study the further structure-activity relationship of this series is developed. Only a limited range of substituents are tolerated on the N-aryl ring or the 6- and 7-positions of the quinoline, and although hydroxylated derivs. were identified possessing markedly greater affinity for the enzyme, none of these proved to have adequate potency after oral dosing. In contrast, the 8-position of the quinoline ring proved suitable for a wide variety of substituents, allowing modification of physicochem. properties while retaining primary activity. This led to the identification of SK&F 97574, which combines good oral potency with a somewhat longer duration of action than SK&F 96067 (though much shorter than covalent inhibitors such as

omeprazole). Thus, SK&F 97574 was selected for further development and evaluation in man.

IT 164860-49-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
((phenylamino)quinolinyl]butanones as reversible gastric ATPase inhibitors)

RN 164860-49-5 CAPLUS

CN 1-Butanone, 1-[4-[[4-[3-(1H-imidazol-1-yl)propoxy]-2-methylphenyl]amino]-8-methoxy-3-quinolinyl]- (9CI) (CA INDEX NAME)

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=> s l4 not l5
L6          36 L4 NOT L5

=> dis l6 1-36 bib abs fhitstr

L6   ANSWER 1 OF 36  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2007:32905  CAPLUS  Full-text
DN   146:142671
TI   Preparation of pyrimidine-substituted benzimidazole derivatives as protein
     kinase inhibitors
IN   Zhang, Guobao; Ren, Pingda; Wang, Xia; Gray, Nathanael S.; Sim, Taebo
PA   Irm LLC, Bermuda
SO   PCT Int. Appl., 83pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     --------------      ----   --------    --------------------   --------
PI   WO 2007005673        A1    20070111    WO 2006-US25706        20060630
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,
             KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN,
             MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU,
             SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG,
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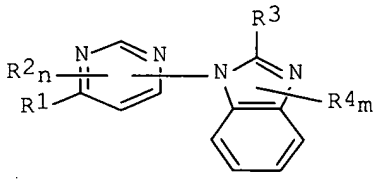
US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRAI US 2005-696174P P 20050701
OS MARPAT 146:142671
GI



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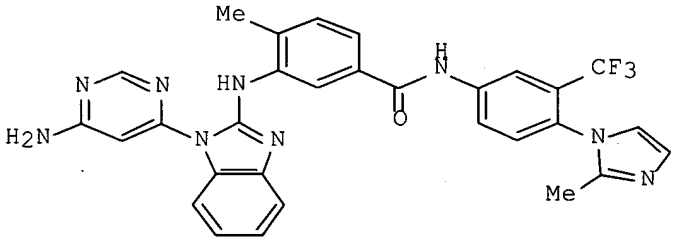


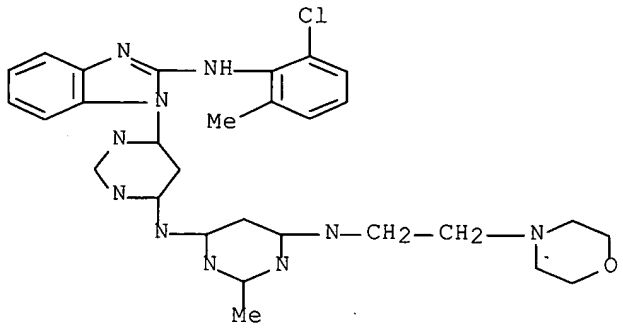
II

AB Title compds. represented by the formula I [wherein m, n = independently 0-2; R1 = H, halo, (un)substituted amino, etc.; R2, R4 = independently halo, hydroxy, (halo)alkoxy or (halo)alkyl; R3 = halo, (un)substituted amino, aminocarbonylalkyl, etc.; and pharmaceutically acceptable salts, hydrates, solvates and isomers thereof] were prepared as protein kinase inhibitors. For example, II was provided in a multi-step synthesis starting from 2-chloro-1H-benzimidazole. I are assayed to measure their capacity to selectively inhibit Bcr-Abl, FGFR3 and b-Raf kinase activity by both enzymic assay and cellular assay, and etc. Thus, I and their pharmaceutical compns. are useful for the treatment or prevention of diseases or disorders associated with abnormal or deregulated kinase activity, particularly diseases or disorders that involve abnormal activation of Alk, Abl, BRK, Blk, BMX, CSK, c-Src, c-Raf, EGFR, Fes, FGFR3, Fms, Fyn, IGF-IR, IR, IKKα, IKKβ, JAK2, JAK3, KDR, Lck, Met, p70S6k, Ros, Rskl, SAPK2α, SAPK2β, SAPK3, SIK, Tie2, TrkB and/or WNK3 kinases.

IT 919084-68-7P, N-[6-[2-(2-Chloro-6-methylphenylamino)benzimidazol-1-yl]pyrimidin-4-yl]-2-methyl-N'-[2-(morpholin-4-yl)ethyl]pyrimidine-4,6-diamine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine-substituted benzimidazole derivs. as protein kinase inhibitors)

RN 919084-68-7 CAPLUS

CN 4,6-Pyrimidinediamine, N4-[6-[2-[(2-chloro-6-methylphenyl)amino]-1H-benzimidazol-1-yl]-4-pyrimidinyl]-2-methyl-N6-[2-(4-morpholinyl)ethyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1312027 CAPLUS Full-text
DN 146:62737
TI Preparation of pyrimidine-2,4-diamines for inhibition of the JAK pathway
IN Li, Hui; Thota, Sambaiah; Carroll, David; Argade, Ankush; Tso, Kin; Sran, Arvinder; Clough, Jeffrey; Keim, Holger; Bhamidipati, Somasekhar; Taylor, Vanessa; Cooper, Robin; Singh, Rajinder; Wong, Brian
PA Rigel Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 488pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006133426	A2	20061214	WO 2006-US22590	20060608
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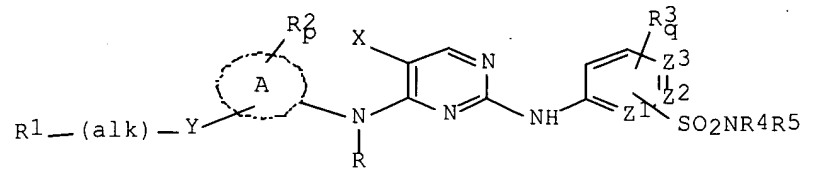
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2006293311	A1	20061228	US 2006-450901	20060608
PRAI	US 2005-689032P	P	20050608		
	US 2005-706638P	P	20050808		
	US 2006-776636P	P	20060224		

OS MARPAT 146:62737
GI



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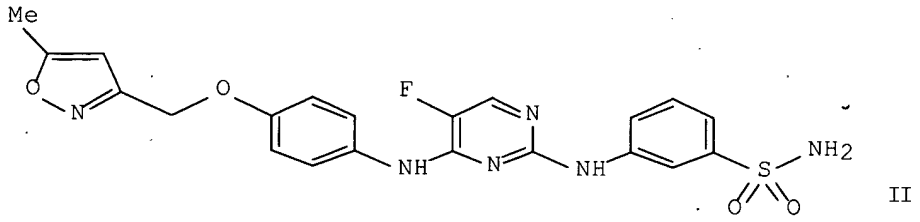


II

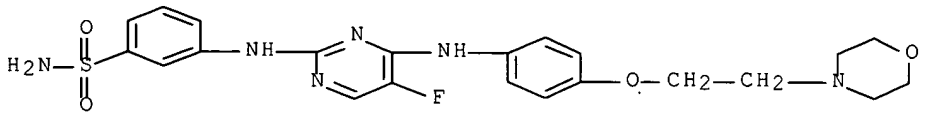
AB The invention encompasses pyrimidine-2,4-diamines (shown as I and other Markush structures shown in the claims; variables defined below; e.g. N-(3-aminosulfonylphenyl)-5-fluoro-N'-[4-[(5-methylisoxazol-3- yl)methoxy]phenyl]-2,4-pyrimidinediamine (shown as II)) and the compns. and methods using these compds. in the treatment of conditions in which modulation of the JAK pathway or inhibition of JAK kinases, particularly JAK3, may be therapeutically useful. For I: X = (un)substituted alkyl, (un)substituted alkoxy, (un)substituted amino, carboxy, carboxy ester, cyano, halo, nitro, (un)substituted alkenyl, (un)substituted alkynyl; R = H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl; ring A = aryl, heteroaryl, cycloalkyl, cycloalkenyl and heterocyclic, wherein ring A is not indolyl or benzimidazolyl; Y = a bond, -NR7-, -C(O)NR7-, -NR7C(O)-, -NR7C(O)O-, -OC(O)NR7-, -NR7C(O)NR7-, O and S, where R7 = H, (un)substituted alkyl; alk is a bond or a straight or branched chain alkylene group, wherein when alk and Y each are a bond then R1 is attached to ring A by a single covalent bond; R1 = cyano, acylamino, aminoacyl, (un)substituted aryl, carboxy, carboxy ester, carboxy ester oxy, (un)substituted heteroaryl, (un)substituted heterocyclic, acyl, aminoacyloxy, and aminocarbonylamino; or R1-alk-Y is R10-C(O)-S-alk-C(O)-, wherein alk is as defined herein and R10 is (un)substituted alkyl; or R1-alk-Y- is R11R12NS(O)2-, wherein R11 and R12 independently are (un)substituted alkyl; p = 0-3 when ring A is a single ring or p is 0-5 when ring A comprises multiple rings. Each R2 = (un)substituted alkyl, (un)substituted alkoxy, (un)substituted amino, (un)substituted aryl, (un)substituted aryloxy, cyano, (un)substituted cycloalkyl, (un)substituted cycloalkoxy, et al. or two R2 can form an oxo; Z1, Z2, and Z3 each independently is C or N with provisos; q = 0-3; each R3 = H, (un)substituted alkyl, (un)substituted alkoxy, (un)substituted cycloalkyl, halo, (un)substituted heterocyclic; R4 and R5 = H, (un)substituted alkyl, acyl and metal or ammonium counterion; addnl. details including provisos are given in the claims. Results for an assay for Ramos B-cell line stimulated with IL-4, primary human T-cell proliferation assay stimulated with IL-2, assay for A549 epithelial line stimulated with IFNγ and U937 IFNγ ICAM1 FACS assay (all of which involve the JAK/Stat pathway) are tabulated for hundreds of examples of I. Although the methods of preparation are not claimed, prepns. and/or characterization data for many examples of I are included. For example, II was prepared by N-alkylation of 3-aminobenzenesulfonamide by 2-chloro-5-fluoro-N-[4-[(5-methylisoxazol-3-yl)methoxy]phenyl]-4- pyrimidinamine, which was prepared from 5-methyl-3-[(4- aminophenoxy)methyl]isoxazole (preparation given) and 2,4-dichloro-5- fluoropyrimidine.

IT 916738-80-2P, N-(3-Aminosulfonylphenyl)-5-fluoro-N'-[4-(2-morpholinoethyloxy)phenyl]-2,4-pyrimidinediamine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrimidine-2,4-diamine sulfonamides for inhibition of JAK pathway)

RN 916738-80-2 CAPLUS

CN Benzenesulfonamide, 3-[[5-fluoro-4-[[4-[2-(4-morpholinyl)ethoxy]phenyl]amino]-2-pyrimidinyl]amino]- (CA INDEX NAME)



L6 ANSWER 3 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:1207214 CAPLUS Full-text

DN 145:483697

TI Pyrido[2,3-d]pyrimidines useful as hepatitis C virus (HCV) inhibitors, and methods for the preparation thereof

IN Simmen, Kenneth Alan; Surleraux, Dominique Louis Nestor Ghislain; Lin, Tse-I.; Lenz, Oliver; Raboisson, Pierre Jean-Marie Bernard

PA Tibotec Pharmaceuticals Ltd., Ire.

SO PCT Int. Appl., 47pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006120252	A2	20061116	WO 2006-EP62290	20060512
	WO 2006120252	A3	20070222		

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

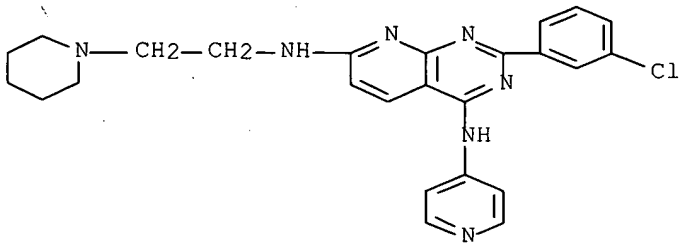
PRAI	US 2005-680405P	P	20050512
	EP 2005-106214	A	20050707
	EP 2006-75855	A	20060406

OS MARPAT 145:483697

AB The invention discloses the use of pyrido[2,3-d]pyrimidines as inhibitors of HCV replication, as well as their use in pharmaceutical compns. aimed to treat or combat HCV infections. In addition, the invention discloses pyrido[2,3-d]pyrimidine compds. per se and their use as medicines. The invention also concerns processes for the preparation of such compds., pharmaceutical compns. comprising them, and combinations of these compds. with other anti-HCV agents. Procedures for preparation of the compds. are described.

IT 914615-65-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pyridopyrimidine derivative hepatitis C virus inhibitors)
RN 914615-65-9 CAPLUS
CN Pyrido[2,3-d]pyrimidine-4,7-diamine, 2-(3-chlorophenyl)-N7-[2-(1-piperidinyl)ethyl]-N4-4-pyridinyl- (9CI) (CA INDEX NAME)

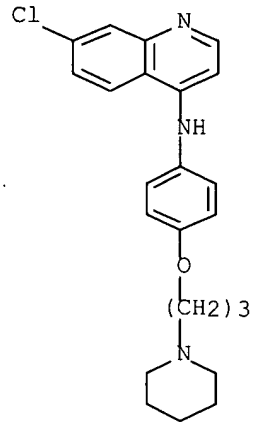


L6 ANSWER 4 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1036532 CAPLUS Full-text
DN 145:369898
TI Treatment of epilepsy with non-imidazole alkylamine histamine H3 receptor ligands
IN Schwartz, Jean-Charles; Lecomte, Jeanne-Marie
PA Bioprojet, Fr.
SO PCT Int. Appl., 108pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006103537	A2	20061005	WO 2006-IB723	20060330
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	EP 1707204	A1	20061004	EP 2005-290728	20050401
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
PRAI	EP 2005-290728	A	20050401		
	US 2005-668619P	P	20050406		

OS MARPAT 145:369898
AB The invention provides a method for treatment of epilepsy with non-imidazole alkylamine derivs. that constitute antagonists of the H3 histamine receptors.
IT 462115-97-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(non-imidazole alkylamine histamine H3 receptor ligands for epilepsy treatment)

RN 462115-97-5 CAPLUS
CN 4-Quinolinamine, 7-chloro-N-[4-[3-(1-piperidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 5 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1031608 CAPLUS Full-text
DN 145:369896
TI Treatment of Parkinson's disease, obstructive sleep apnea, dementia with Lewy bodies, and vascular dementia with non-imidazole alkylamine histamine H3 receptor ligands
IN Schwartz, Jean-Charles; Lecomte, Jeanne-Marie
PA Bioprojet, Fr.
SO PCT Int. Appl., 111pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006103546	A2	20061005	WO 2006-IB739	20060330
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1707203	A1	20061004	EP 2005-290727	20050401
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				

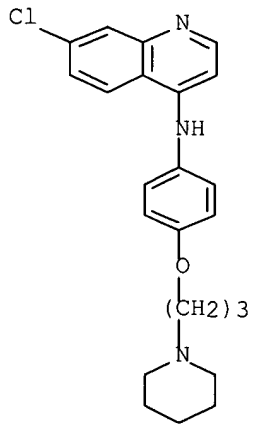
PRAI EP 2005-290727 A 20050401
US 2005-668618P P 20050406
OS MARPAT 145:369896

AB The invention provides a method for treatment of Parkinson's disease, obstructive sleep apnea, narcolepsy, dementia with Lewy bodies, and vascular dementia with non-imidazole alkylamine derivs. that constitute antagonists of the H3 histamine receptors.

IT 462115-97-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(non-imidazole alkylamine histamine H3 receptor ligands for treatment of Parkinson's disease, obstructive sleep apnea, dementia with Lewy bodies, and vascular dementia)

RN 462115-97-5 CAPLUS

CN 4-Quinolinamine, 7-chloro-N-[4-[3-(1-piperidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 6 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:1002165 CAPLUS Full-text

DN 146:7922

TI Structure-Activity Relationship of Quinoline Derivatives as Potent and Selective α2C-Adrenoceptor Antagonists

AU Hoeglund, Iisa P. J.; Silver, Satu; Engstroem, Mia T.; Salo, Harri; Tauber, Andrei; Kyyroenen, Hanna-Kaisa; Saarenketo, Pauli; Hoffren, Anna-Marja; Kokko, Kurt; Pohjanoksa, Katariina; Sallinen, Jukka; Savola, Juha-Matti; Wurster, Siegfried; Kallatsa, Oili A.

CS Juvantia Pharma Ltd., Turku, FI-20520, Finland

SO Journal of Medicinal Chemistry (2006), 49(21), 6351-6363
CODEN: JMCMAR; ISSN: 0022-2623

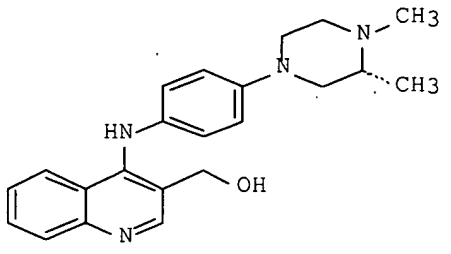
PB American Chemical Society

DT Journal

LA English

OS CASREACT 146:7922

GI



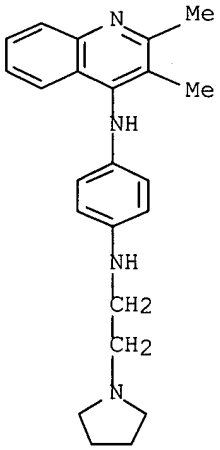
I

AB Starting from two acridine compds. identified in a high-throughput screening campaign, a series of 4-aminoquinolines was synthesized and tested for their properties on the human α2-adrenoceptor subtypes (α2A, α2B, and α2C). A number of compds. with good antagonist potencies against the α2C-adrenoceptor and excellent subtype selectivities over the other two subtypes were discovered. For example, (R)-{4-[4-(3,4-dimethylpiperazin-1-yl)phenylamino]quinolin-3- yl}methanol I had an antagonist potency of 8.5 nM against, and a subtype selectivity of more than 200-fold for, the α2C-adrenoceptor. Investigation of the structure-activity relationship identified a number of structural features, the most critical of which was an absolute need for a substituent in the 3-position of the quinoline ring. The 3-position on the piperazine ring was also found to play an appreciable role, as substitutions in that position exerted a significant and stereospecific beneficial effect on the α2C-adrenoceptor affinity and potency. Replacing the piperazine ring proved difficult, with 1,4-diazepanes representing the only viable alternative.

IT 915723-02-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of quinoline derivs. as selective α2C-adrenoceptor antagonists)

RN 915723-02-3 CAPLUS

CN 1,4-Benzenediamine, N1-(2,3-dimethyl-4-quinolinyl)-N4-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

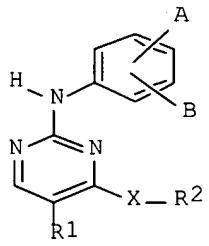


RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:850268 CAPLUS Full-text
DN 145:271798
TI Preparation of 2-anilinopyrimidines as CDK II inhibitors
IN Wagenfeld, Andrea; Siemeister, Gerhard; Lindenthal, Bernhard
PA Schering Aktiengesellschaft, Germany
SO PCT Int. Appl., 135pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006087230	A1	20060824	WO 2006-EP1540	20060215
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	DE 102005008310	A1	20060824	DE 2005-102005008310	20050217
	US 2006252748	A1	20061109	US 2006-355201	20060216
PRAI	DE 2005-102005008310	A	20050217		
	US 2005-664552P	P	20050324		

OS MARPAT 145:271798
GI



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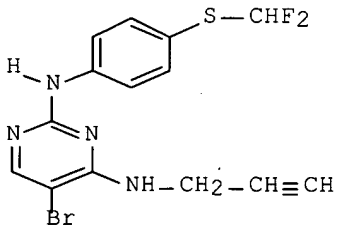
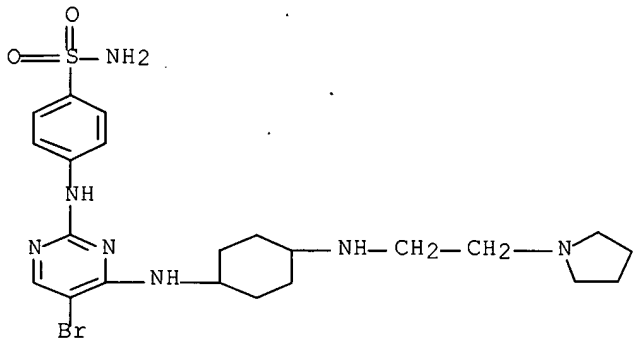


II

AB Title compds. I [R1 = H, halo, alkyl, etc.; R2 = alkyl, alkenyl, alkynyl, etc.; X = O, NH, NH-alkyl, etc.; A, B = H, OH, alkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, coupling of 2-chloro-4-2-propynylaminopyrimidine and 4-(difluoromethylthio)aniline hydrochloride afforded anilinopyrimidine II in 85% yield. Of note compds. I are claimed useful as contraceptives.

IT 477589-17-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-anilinopyrimidines as CDK II inhibitors)

RN 477589-17-6 CAPLUS
CN Benzenesulfonamide, 4-[[5-bromo-4-[[4-[[2-(1-pyrrolidinyl)ethyl]amino]cyclohexyl]amino]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

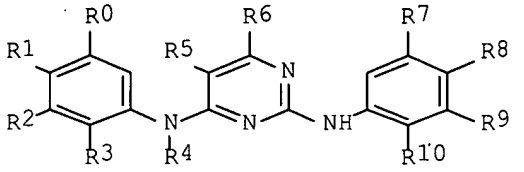
L6 ANSWER 8 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:333441 CAPLUS Full-text
DN 144:350710
TI Preparation of pyrimidine compounds as FAK and/or ALK inhibitors
IN Kawahara, Eiji; Miyake, Takahiro; Roesel, Johannes
PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SO PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006021457	A2	20060302	WO 2005-EP9255	20050826
	WO 2006021457	A3	20060713		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI GB 2004-19160 A 20040827
OS MARPAT 144:350710
GI



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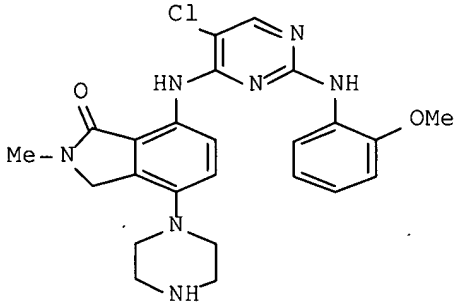


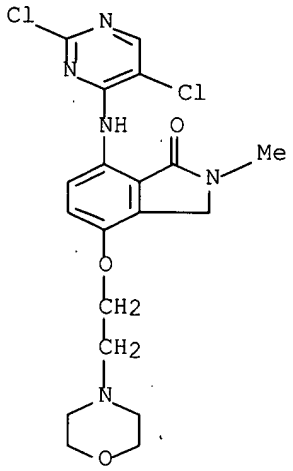
II

AB Title compds. I [R0 = H; R1 is a unsubstituted or substituted monocycle or a bicyclic heterocycle comprising 1 or 2 heteroatoms independently selected from N and O; R2 and R3 together with the C and N to which they are attached form a heterocycle comprising at least 1 hetero atoms independently selected from N which is unsubstituted or substituted once or more by a substituent independently selected from alkyl and oxo; R4 = H; R5 = halo; R6 = H; R7 = H; R8 = H, alkoxy, optionally substituted carbamoyl with alkyl, etc.; R9 = H; R10 = H, halo, alkoxy] or their salts were prepared Thus, compds. II are prepared from 3-fluoro-2-methyl-6- nitrobenzoic acid in a multistep process. In ALK assays, 66 examples of compds. I exhibited inhibitory activity with an IC50 ranging from 0.01 to 1 μM. Compds. I are claimed useful for the treatment of tumor, osteosarcomas, etc.

IT 881415-58-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrimidine compds. as FAK and/or ALK inhibitors for treatment of tumor, osteosarcomas, etc.)

RN 881415-58-3 CAPLUS

CN 1H-Isoindol-1-one, 7-[(2,5-dichloro-4-pyrimidinyl)amino]-2,3-dihydro-2-methyl-4-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



L6 ANSWER 9 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:293263 CAPLUS Full-text
DN 144:350705
TI Substituted pyridine and pyrimidine compounds as pharmaceutical agents, their preparation, pharmaceutical compositions, and use in therapy
IN Andersen, Denise Lyn; Chang, Catherine H.; Falsey, James R.; Frohn, Michael J.; Hong, Fang-Tsao; Liao, Hongyu; Liu, Longbin; Lopez, Patricia; Retz, Daniel Martin; Rishton, Gilbert M.; Rzasa, Robert M.; Siegmund, Aaron; Tadesse, Seifu; Tamayo, Nuria; Tegley, Christopher M.
PA USA
SO U.S. Pat. Appl. Publ., 86 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2006069110	A1	20060330	US 2005-237513	20050927
	WO 2006037117	A1	20060406	WO 2005-US35134	20050927

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2004-613762P P 20040927
OS MARPAT 144:350705
GI

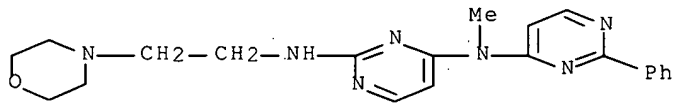
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to pyridines, pyrimidines and related derivs. of formula I, which are useful for treatment of diseases mediated by TNF-α, IL-1β, IL-6, and/or IL-8, as well as other maladies, such as pain, diabetes, and inflammation. In compds. I, X1 and X2 are independently N or (un)substituted C, or X1 and X2 together are C(O), (un)substituted N, or (un)substituted N-C(O); X3, X4, X5, and X6 are independently selected from N and (un)substituted C, where at least one, and no more than three, of X1 to X4 are N; R1 is (un)substituted 5- to 7-membered ring containing 0-3 heteroatoms selected from N, O, and S; R2 is (un)substituted C1-8 alkyl, (un)substituted 5- to 7-membered monocyclic ring containing 0-4 heteroatoms selected from N, O, and S, or (un)substituted 6- to 11-membered bicyclic ring system containing 0-4 heteroatoms selected from N, O, and S; R3 is H, (un)substituted C1-6 alkyl, C1-4 haloalkyl, (un)substituted carboxylate, (un)substituted carboxamide, or (un)substituted carboximidamide; and R4 is selected from H, OH, NH2, halo, C1-8 alkyl, C1-4 haloalkyl, phenoxy, benzyloxy, C1-6 alkoxy, and mono- or disubstituted amino. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment of inflammation and other conditions or disorders. Cyclocondensation of benzamidine hydrochloride with Et propiolate followed by chlorination and substitution with methylamine gave pyrimidine II, which underwent regioselective substitution with 2,4-difluoropyrimidine resulting in the formation of tertiary amine III. Amine III was substituted with benzyl (S)-N-[4-(1-aminoethyl)phenethyl]carbamate and deprotected to give pyrimidine derivative IV. Several compds. of the invention, e.g., IV, express IC50 values below 20 μM in an assay for lipopolysaccharide-induced TNF release.

IT 881207-81-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted pyridine and pyrimidine compds. as anti-inflammatory, analgesic, or antidiabetic agents)

RN 881207-81-4 CAPLUS

CN 2,4-Pyrimidinediamine, N4-methyl-N2-[2-(4-morpholinyl)ethyl]-N4-(2-phenyl-4-pyrimidinyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 10 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:152715 CAPLUS Full-text

DN 144:233089

TI Preparation of aryl-amino substituted pyrrolopyrimidine multi-kinase inhibiting compounds as antiproliferative, particularly antitumor agents

IN Ahmed, Saleh; Barba, Oscar; Bloxham, Jason; Dawson, Graham; Gattrell, William; Kitchin, John; Pegg, Neil Anthony; Saba, Imaad; Shadiq, Shazia; Smith, Colin Peter Sambrook; Smyth, Don; Steinig, Arno G.; Wilkes, Robin; Foreman, Kenneth; Weng, Qinghua Felix; Stolz, Kathryn; Tavares, Paula; Panicker, Bijoy; Li, An-Hu; Dong, Hanqing; Ma, Lifu; Cox, Matthew

PA Osi Pharmaceuticals, Inc., USA

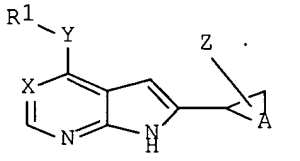
SO PCT Int. Appl., 253 pp.
CODEN: PIXXD2

DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006017443	A2	20060216	WO 2005-US27274	20050801
	WO 2006017443	A3	20070118		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2006211678	A1	20060921	US 2005-194158	20050801
PRAI	US 2004-598173P	P	20040802		
	US 2005-698516P	P	20050712		

OS MARPAT 144:233089
GI



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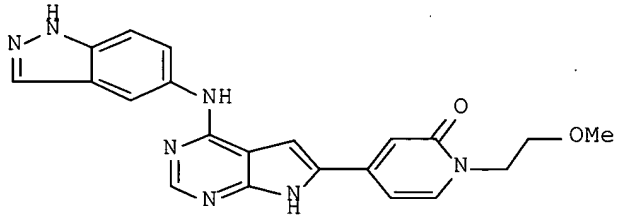


II

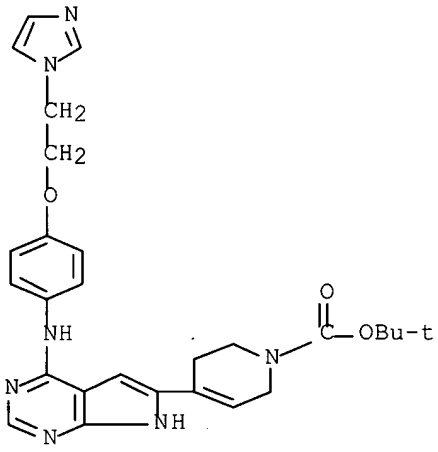
AB Title compds. I [X = N, C-CN; A = 1,4-piperidinylene, 1,4-pyrazinylene, 1,2,3,6-tetrahydro-1,4-pyridinylene, etc.; Z = (un)substituted hetaryl, alkyloxyalkyl, alkylsulfonyl, dialkylamino, hetarylsulfonyl, etc.; Y = O, S, -N(alkyl)-, etc.; R1 = (un)substituted het-aryl, heterocyclyl; and their stereoisomers, and their pharmaceutically acceptable salts] were prepared as inhibitors of least two of the Abl, Aurora-A, Blk, c-Raf, cSRC, Src, PRK2, FGFR3, Flt3, Lck, Mek1, PDK-1, GSK3β, EGFR, p70S6K, BMX, SGK, CaMKII, Tie-2, IGF-1R, Ron, Ret, and KDR kinases in animals, including humans, for the treatment and/or prevention of various diseases and conditions such as cancer. For example, Pd-coupling of (1H-indazol-5-yl)(6-iodo-7H-pyrrolo[2,3-d]pyrimidin-4-yl)amine with [1-(2-methoxyethyl)-2-oxo-1,2-dihydropyridin-4-yl]boronic acid gave pyrrolopyrimidine II. In kinase inhibition studies, selected I inhibited at least 2 of the Abl, Aurora-A, Blk, c-Raf, cSRC, Src, PRK2, FGFR3, Flt3, Lck, Mek1, PDK-1, GSK3β, EGFR, p70S6K, BMX, SGK,CaMKII,

Tie-2, Ret and KDR kinases at an IC50 of greater than 50% inhibition at 10 to 14 nM.

IT 876338-79-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrrolopyrimidines multi-kinase inhibiting compds. as antitumor agents)

RN 876338-79-3 CAPLUS

CN 1(2H)-Pyridinecarboxylic acid, 3,6-dihydro-4-[4-[[4-[2-(1H-imidazol-1-yl)ethoxy]phenyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 11 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:15086 CAPLUS Full-text

DN 144:108347

TI Preparation of pyrimidine urea derivatives as kinase inhibitors for use against proliferative diseases

IN Ding, Qiang; Gray, Nathanael Schiander; Li, Bing; Liu, Yi; Sim, Taebo; Uno, Tetsuo; Zhang, Guobao; Pissot Soldermann, Carole; Breitenstein, Werner; Bold, Guido; Caravatti, Giorgio; Furet, Pascal; Guagnano, Vito; Lang, Marc; Manley, Paul W.; Schoepfer, Joseph; Spanka, Carsten

PA Novartis AG, Switz.

SO PCT Int. Appl., 327 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006000420	A1	20060105	WO 2005-EP6815	20050623

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

	AU 2005256491	A1	20060105	AU 2005-256491	20050623
	CA 2570873	A1	20060105	CA 2005-2570873	20050623
PRAI	US 2004-582425P	P	20040624		
	GB 2005-12324	A	20050616		
	WO 2005-EP6815	W	20050623		

OS MARPAT 144:108347

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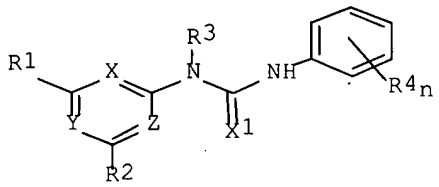

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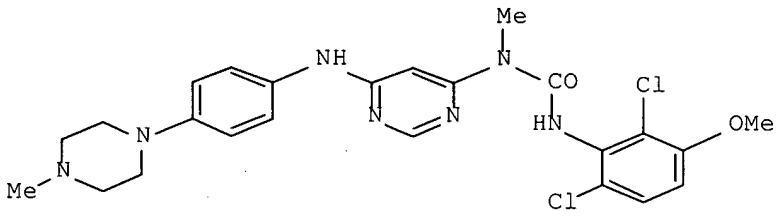


II

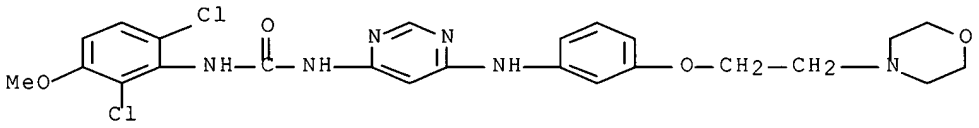
AB The invention relates to pyrimidine urea derivs. (shown as I; variables defined below; e.g. 3-(2,6-dichloro-3-methoxyphenyl)-1-methyl-1-[6-[[4-(4-methylpiperazin-1-yl)phenyl]amino]pyrimidin-4-yl]urea (II)), to processes for the preparation of these compds., pharmaceutical compns. containing same, the use thereof optionally in combination with ≥1 other pharmaceutically active compds. for the therapy of a disease which responds to an inhibition of protein kinase activity, and a method for the treatment of such a disease. Inhibitory activity of some examples of I are included, e.g. N-[3-[3-(6-aminopyrimidin-4-yl)-3-[3-(2-oxopyrrolidin-1- yl)propyl]ureido]-4-methylphenyl]-3-trifluoromethylbenzamide at a concentration of 10 μM inhibits the following kinases by the percentage shown in brackets: wild-type Abl (99%), c-RAF (99%), CSK (97%), c-SRC (100%), FGFR35 (99%), JNK2α2 (93%), lck (100%), MKK6 (88%), p70S6K (81%), ROS (95%), SAPK2α (99%), SAPK2β (99%), Tie2 (100%) and TrkB (99%). For I: n = 0-5; X, Y and Z = N or CR5, wherein at least two of X, Y and Z are N; X1 is O; R1, R2, R3 and R4, if present, = an organic or inorg. moiety, where the inorg. moiety especially = halo, especially chloro, hydroxy, cyano, azido, nitro; and where the organic moiety is (un)substituted and may be attached via a linker, -L1-, the organic moiety especially = H lower aliphatic, amino, guanidino, hydroxyguanidino, formamidino, isothioureido, et al. and -L1- has 1-5 in-chain atoms (e.g. = C, N, O and S) and optionally = (i) C1-C4 alkyl, such an alkyl group optionally being interrupted and/ or terminated by an -O-, -C(O)- or -NRa- linkage, -O-, -S-, -C(O)-, cyclopropyl (regarded as having two in-chain atoms) and chemical appropriate combinations thereof. R1 can also = -X5NR7R8, -X5NR7X5NR7R8, -X5NR7X5C(O)OR8, -X5OR7, -X5R7 and -X5S(O)0-2R7 (X5 is a bond or (un)substituted C1-4alkylene; R7 = H, C1-6alkyl, C6-10aryl-C0-4alkyl, C5-10heteroaryl-C0-4alkyl, C3-10cycloalkyl-C0-4alkyl and C3-10heterocycloalkyl-C0-4alkyl; and R8 = H and C1-6alkyl; or R7 and R8 together with the N to which

R7 and R8 are both attached form heteroaryl or heterocycloalkyl); wherein R3 can alternatively = H, C1-4alkyl, C6-10aryl-C0-4alkyl, C5-10 heteroaryl-C0-4alkyl, C3-10cycloalkyl-C0-4alkyl and C3-10heterocycloalkyl- C0-4alkyl. Each R4 is the same or different and = an organic or inorg. moiety, e.g. halogen, hydroxy, protected hydroxy; one of the R4 can also = -L1-A-R16m (L1 is a linker; m is 0-5; A is a ring; R16, if present, = an organic or inorg. moiety, where the inorg. moiety especially = halo, especially chloro, hydroxy, cyano, azido, nitro; and where the organic moiety is (un)substituted and may be attached via a linker, -L2-, the organic moiety being especially = H, lower aliphatic (especially C1-C4 aliphatic), et al.; L1 and L2 each independently = moieties having 1-5 in-chain atoms (e.g. = C, N, O and S) and optionally being = C1-C4 alkyl, such an alkyl group optionally being interrupted and/or terminated by an -O-, -C(O)- or -NRa- linkage, -O-, -S-, -C(O)-, cyclopropyl (regarded as having two in-chain atoms) and chemical appropriate combinations thereof); addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for >200 examples of I are included. For example, II was prepared from 2,6-dichloro-3-methoxyphenyl isocyanate (preparation given) and N-methyl-N'-[4-(4-methylpiperazin-1-yl)phenyl]pyrimidine-4,6-diamine (preparation given).

IT 872509-94-9P, 1-(2,6-Dichloro-3-methoxyphenyl)-3-[6-[[3-[2-(morpholin-4-yl)ethoxy]phenyl]amino]pyrimidin-4-yl]urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrimidine urea derivs. as kinase inhibitors
for use against proliferative diseases)

RN 872509-94-9 CAPLUS

CN Urea, N-(2,6-dichloro-3-methoxyphenyl)-N'-[6-[[3-[2-(4-morpholinyl)ethoxy]phenyl]amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1329661 CAPLUS Full-text
DN 144:69843
TI Preparation of pyrimidine derivatives and analogues as modulators of metabolism for the prophylaxis and treatment of metabolic-related disorders
IN Jones, Robert M.; Semple, Graeme; Xiong, Yifeng; Shin, Young-Jun; Ren, Albert S.; Lehmann, Juerg; Fioravanti, Beatriz; Bruce, Marc A.; Choi, Jin Sun Karoline
PA Arena Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 213 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

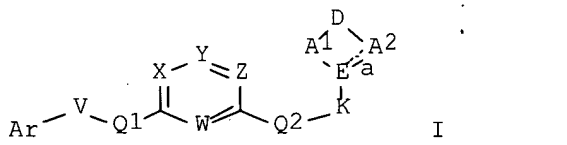
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005121121	A2	20051222	WO 2005-US19318	20050602

WO 2005121121 A3 20060316
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2005252211 A1 20051222 AU 2005-252211 20050602
CA 2568451 A1 20051222 CA 2005-2568451 20050602
EP 1756084 A2 20070228 EP 2005-755596 20050602
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU

PRAI US 2004-577354P P 20040604
WO 2005-US19318 W 20050602

GI



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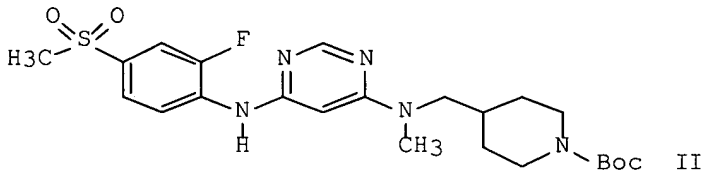

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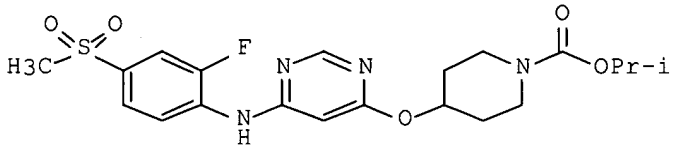


III.

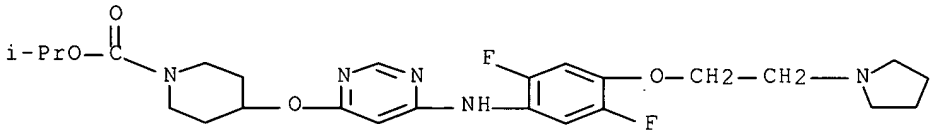
AB Title compds. I [where A1, A2 = (un)substituted alkylene; D = (un)substituted CH2 or NH; a is a single bond when E is N or (un)substituted CH, or a double bond when E is C; K = absence, cycloalkene or (un)substituted alkylene; Q1 = (un)substituted NH, O, S, SO or SO2; Q2 = (un)substituted NH or O; W = N or CH; X, Y, Z = N or (un)substituted CH; V = absence, (un)substituted (hetero)alkylene; Ar = (un)substituted (hetero)aryl, with one exclusion, and pharmaceutically acceptable salts, solvates, hydrates or N-oxides thereof] were prepared as modulators of metabolism For example, monosubstitution of 4,6-dichloropyrimidine with 4-[(methylamino)methyl]piperidine-1-carboxylic acid tert-Bu ester followed by Pd-catalyzed amination of the resultant chloride with 2-fluoro-4-methylsulfonylaniline both under microwave irradiation gave II. Several biol. assays were carried out. III is a RUP3

agonist and lowered blood glucose in a dose-dependent manner in mice with 14.83%, 22.03% and 39.31% inhibition of glucose excursion at the dose of 1, 3 and 10 mg/kg, resp. An analog of III stimulated RUP3 receptors with EC50 = 48 nM. Accordingly, compds. of the invention are useful in the treatment of metabolic-related disorders and complications thereof, such as diabetes and obesity.

IT 871681-00-4P, 4-[[6-[[2,5-Difluoro-4-[2-(pyrrolidin-1-yl)ethoxy]phenyl]amino]pyrimidin-4-yl]oxy]piperidine-1-carboxylic acid isopropyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrimidine derivs. and analogs as modulators
of metabolism for the prophylaxis and treatment of metabolic-related disorders)

RN 871681-00-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[6-[[2,5-difluoro-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]amino]-4-pyrimidinyl]oxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 13 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1178222 CAPLUS Full-text

DN 144:88236

TI New heterocyclic analogues of 4-(2-chloro-5-methoxyanilino)quinazolines as potent and selective c-Src kinase inhibitors

AU Barlaam, Bernard; Fennell, Mike; Germain, Herve; Green, Tim; Hennequin, Laurent; Morgentin, Remy; Olivier, Annie; Ple, Patrick; Vautier, Michel; Costello, Gerard

CS AstraZeneca, Centre de Recherches, Z.I.S.E. La Pompelle B.P.1050, Reims, 51689, Fr.

SO Bioorganic & Medicinal Chemistry Letters (2005), 15(24), 5446-5449
CODEN: BMCLE8; ISSN: 0960-894X

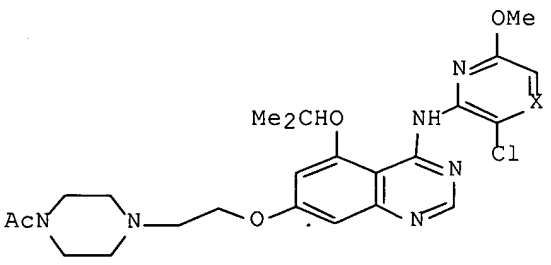
PB Elsevier B.V.

DT Journal

LA English

OS CASREACT 144:88236

GI



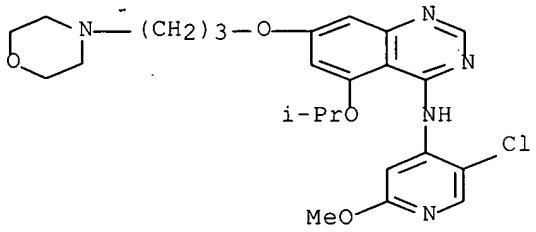
I

AB A series of 5,7-disubstituted quinazolines, bearing 4-heteroaryl substituents such as 2-pyridinylamine or 2-pyrazinylamine, has been synthesized and evaluated as c-Src kinase inhibitors. Highly potent inhibition, high selectivity and phys. properties suitable for oral dosing were achieved within this series: I [X = CH, N] were identified as sub-0.1 μM inhibitors in a c-Src-driven cell proliferation assay and displayed adequate rat pharmacokinetics after oral administration.

IT 719305-15-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of new heterocyclic analogs of 4-(2-chloro-5-methoxyanilino)quinazolines as potent and selective c-Src kinase inhibitors)

RN 719305-15-4 CAPLUS

CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-5-(1-methylethoxy)-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1117943 CAPLUS Full-text

DN 144:22899

TI Preparation of Substituted Pyrimido[4,5-b]-1,4-benzoxazepines, Thiazepines, and Diazepines via a Pictet-Spengler Cyclization

AU Duncton, Matthew A. J.; Smith, Leon M., II; Burdzovic-Wizeman, Sabina; Burns, Aaron; Liu, Hu; Mao, Yunyu; Wong, Wai C.; Kiselyov, Alexander S.

CS Department of Chemistry, ImClone Systems, Brooklyn, NY, 11226, USA

SO Journal of Organic Chemistry (2005), 70(23), 9629-9631
CODEN: JOCEAH; ISSN: 0022-3263

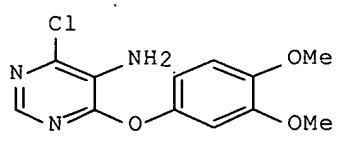
PB American Chemical Society

DT Journal

LA English

OS CASREACT 144:22899

GI



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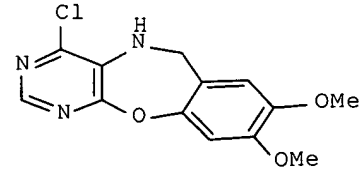


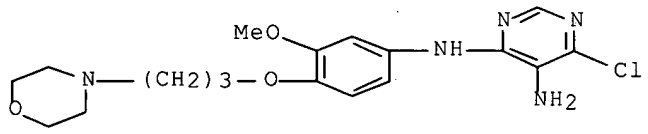
II

AB A synthesis of the title compds., which have found use as inhibitors of certain receptor tyrosine kinases, was achieved using a Pictet-Spengler cyclization as a key step. As an example, phenoxypyrimidinamine I was cyclocondensed with paraformaldehyde in the presence of TFA and MgSO4 in CH2Cl2 to give pyrimidobenzoxazepine II in 62% yield.

IT 870258-01-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrimido(benzoxazepines/benzothiazepines/benzodiazepines) via Pictet-Spengler cyclization of (phenoxy/phenylsulfanyl/phenylamino) pyrimidinamines with paraformaldehyde in the presence of TFA and MgSO4)

RN 870258-01-8 CAPLUS

CN 4,5-Pyrimidinediamine, 6-chloro-N4-[3-methoxy-4-[3-(4-morpholinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:220202 CAPLUS Full-text

DN 142:298126

TI Preparation of derivatives of pyridine, pyrimidine, quinoline, quinazoline, and naphthalene, useful as urotensin-II receptor antagonists

IN Wu, Chengde; Anderson, C. Eric; Bui, Huong; Dupre, Brian; Gao, Daxin; Holland, George W.; Kassir, Jamal; Li, Wen; Wang, Junmei

PA USA

SO U.S. Pat. Appl. Publ., 118 pp., Cont.-in-part of U.S. Ser. No. 783,916.
CODEN: USXXCO

DT Patent

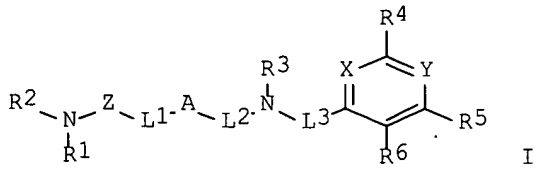
LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005054850	A1	20050310	US 2004-924181	20040823
	US 2004186102	A1	20040923	US 2004-783916	20040220
PRAI	US 2003-451089P	P	20030228		
	US 2004-783916	A2	20040220		

OS MARPAT 142:298126

GI



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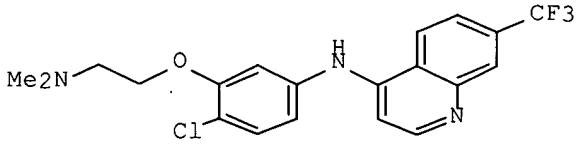


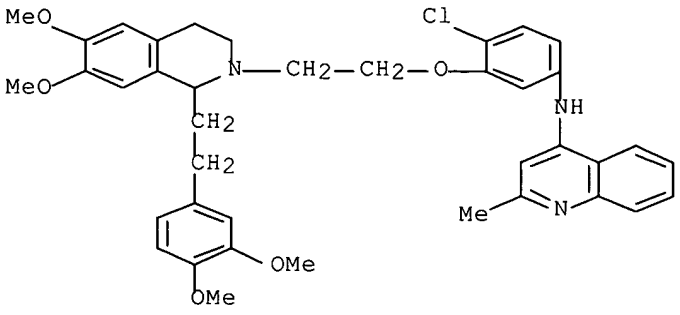
II

AB The invention relates to a preparation of derivs. of pyridine, pyrimidine, quinoline, quinazoline, and naphthalene of formula I [wherein: A is (hetero)aryl, benzoheteroaryl, pyridone, pyridazinone, and pyrimidone; Z is (CH2)1-6; R1 and R2 are independently H, alkyl, or R1 and R2 along with N can form pyrrolidone or piperazine, etc.; R3 is H, alkyl, or arylalkyl; X and Y are independently C or N; R4, R5, and R6 are independently selected from H, alkyl, (hetero)aryl, halogen, or alkoxy, etc.; L1 is a single bond or O, C(O), SO2, or (hetero)arene; L2 and L3 are independently selected from a single bond, CH2, C(O), SO2, or NH], useful as urotensin-II receptor antagonists. Thus, e.g., II was prepared by substitution of a 4-halo-7-trifluoromethylquinoline with 3-(2-dimethylaminoethoxy)-4-chloroaniline. The prepared compds. were tested for inhibition of human [125I]-urotensin-II binding to urotensin-II receptor and inhibition of human urotensin-II-induced Ca2+ mobilization (for instance, for II IC50 was 6.5 μM).

IT 758711-92-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of derivs. of pyridine, pyrimidine, quinoline, quinazoline, and
naphthalene, useful as urotensin-II receptor antagonists)

RN 758711-92-1 CAPLUS

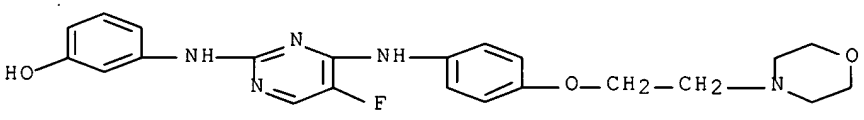
CN 4-Quinolinamine, N-[4-chloro-3-[2-[1-[2-(3,4-dimethoxyphenyl)ethyl]-3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl]ethoxy]phenyl]-2-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 16 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:136565 CAPLUS Full-text
DN 142:212327
TI 2,4-pyrimidinediamine compounds and uses as antiproliferative agents
IN Argade, Ankush; Singh, Rajinder; Li, Hui; Carroll, David; Catalano, Susan
PA Rigel Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005013996	A2	20050217	WO 2004-US25409	20040806
	WO 2005013996	A3	20050609		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2005113398	A1	20050526	US 2004-913270	20040806
	EP 1663242	A2	20060607	EP 2004-780274	20040806
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	JP 2007501793	T	20070201	JP 2006-522744	20040806
PRAI	US 2003-494008P	P	20030807		
	US 2004-572534P	P	20040518		
	WO 2004-US25409	W	20040806		

OS MARPAT 142:212327
AB The invention provides 2,4-pyrimidinediamine compds. having antiproliferative activity, compns. comprising the compds. and methods of using the compds. to inhibit cellular proliferation and to treat proliferative diseases such as tumorigenic cancers.
IT 575477-06-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pyrimidinediamine compds. and uses as antiproliferative agents for treatment of cancer)
RN 575477-06-4 CAPLUS
CN Phenol, 3-[[5-fluoro-4-[[4-[2-(4-morpholinyl)ethoxy]phenyl]amino]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 17 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:74115 CAPLUS Full-text

DN 142:176858
TI Preparation of trisubstituted aryl and heteroaryl derivatives, in particular pyrimidines, as modulators of G-coupled protein receptor and their use in the prophylaxis or treatment of metabolic disorders
IN Jones, Robert M.; Semple, Graeme; Xiong, Yifeng; Shin, Young-Jun; Ren, Albert S.; Calderon, Imelda; Choi, Jin Sun Karoline; Fioravanti, Beatriz; Lehmann, Juerg; Bruce, Marc A.
PA Arena Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 277 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005007647	A1	20050127	WO 2004-US22327	20040709
	WO 2005007647	A9	20050407		
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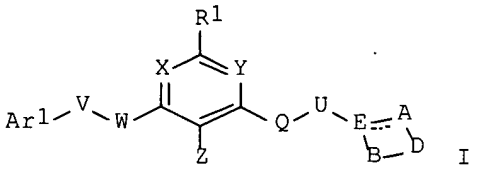
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004257261	A1	20050127	AU 2004-257261	20040709
	CA 2532152	A1	20050127	CA 2004-2532152	20040709
	US 2005070562	A1	20050331	US 2004-888747	20040709
	EP 1644357	A1	20060412	EP 2004-778037	20040709
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	CN 1823056	A	20060823	CN 2004-80019950	20040709
	BR 2004012488	A	20060919	BR 2004-12488	20040709
	NO 2006000636	A	20060331	NO 2006-636	20060209
PRAI	US 2003-486728P	P	20030711		
	US 2003-487370P	P	20030714		
	WO 2004-US22327	W	20040709		

OS MARPAT 142:176858
GI



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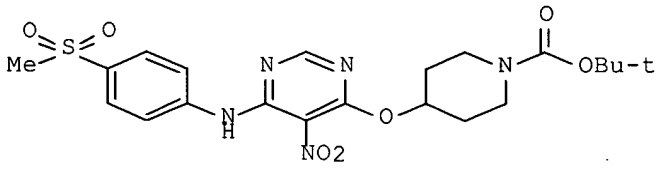


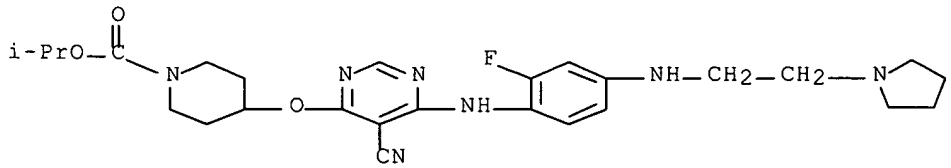
II

AB Title compds. I [wherein A, B = independently (un)substituted alkylene; D = O, S, SO, SO2, etc.; E = N, C, CH and derivs.; V = (un)substituted hetero/alkylene, ethynylene; U = (un)substituted cyclo/alkylene; W = absent, NH and derivs., O, S, SO; Q = NH and derivs., O, S, SO, SO2; X, Y = independently N, CH and derivs.; Z = acyl, CN, CO2H, NH2, CONH2, halo, NO2, aryl, etc.; Ar1 = (un)substituted hetero/aryl; R1 = H, alkenyl, OH, acyloxy, etc.; their pharmaceutically acceptable salts, hydrates and solvates] were prepared as modulators, in particular agonists and inverse agonists of G-coupled protein receptor (RUP3), for treating diabetes, hyperglycemia and other metabolic disorders. Ten biol. examples are given. Thus, reacting 4-hydroxypiperidine-1-carboxylic acid tert-Bu ester with (6-chloro-5-nitropyrimidin-4-yl)(4-methylsulfonylphenyl)amine in the presence of NaH/THF gave II in 68% yield. Selected I displayed EC50 < 300 nM in a melanophore-based pigment dispersion assay. Selected RUP3 agonists I lowered blood glucose levels in rats in an oral glucose tolerance test. Thus, I are useful in the prophylaxis or treatment of metabolic disorders and complications thereof, such as, diabetes and obesity.

IT 832755-31-4P, 4-[[5-Cyano-6-[[2-fluoro-4-[[2-(pyrrolidin-1-yl)ethyl]amino]phenyl]amino]pyrimidin-4-yl]oxy]piperidine-1-carboxylic acid isopropyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of trisubstituted aryl and heteroaryl derivs., in particular pyrimidines, as modulators of G-coupled protein receptor and their use in the treatment of diabetes, hyperglycemia and related diseases)

RN 832755-31-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[5-cyano-6-[[2-fluoro-4-[[2-(1-pyrrolidinyl)ethyl]amino]phenyl]amino]-4-pyrimidinyl]oxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



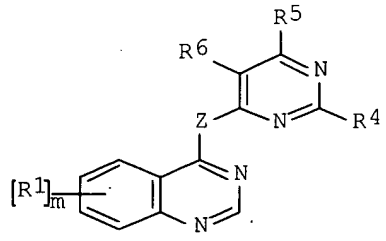
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:1080892 CAPLUS Full-text
DN 142:56342
TI Preparation of 4-pyrimidinyl quinazoline derivatives as c-Src tyrosine kinase inhibitors for use in the treatment of tumors
IN Barlaam, Bernard
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004108710	A1	20041216	WO 2004-GB2356	20040603

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI EP 2003-291344 A 20030605
OS MARPAT 142:56342
GI



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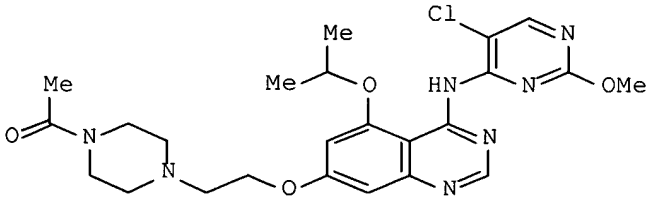


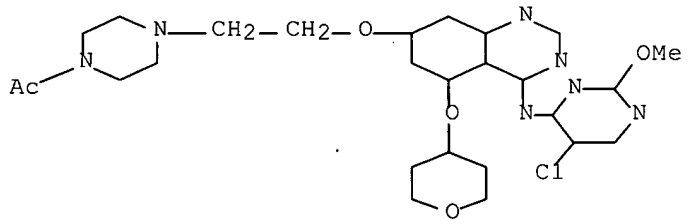
II

AB The invention concerns quinazoline derivs. I [Z = O, S, SO, SO2, NR2 or CR2R3 (wherein R2, R3 = H, alkyl); m = 1-3; R1 = halo, CF3, CN, etc.; R4 = alkoxy; and R5 = H, halo, alkyl or alkoxy; R6 = H, halo] or a pharmaceutically-acceptable salt thereof; processes for their preparation; pharmaceutical compns. containing them and their use in the manufacture of a medicament for use as an anti-invasive agent in the containment and/or treatment of solid tumor disease. E.g., a multi-step synthesis of the quinazoline II, starting from 5,7-difluoro-3,4-dihydroquinazolin-4-one, which showed IC50 of 0.015 μM in in vitro human c-Src kinase assay, was given.

IT 808736-03-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-pyrimidinyl quinazolines as c-Src tyrosine kinase inhibitors for treating tumors)

RN 808736-03-0 CAPLUS

CN Piperazine, 1-acetyl-4-[2-[[4-[(5-chloro-2-methoxy-4-pyrimidinyl)amino]-5-[(tetrahydro-2H-pyran-4-yl)oxy]-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:1080887 CAPLUS Full-text
DN 142:43841
TI Pyrimidin-4-yl 3-cyanoquinoline derivatives for use in the treatment of tumors
IN Barlaam, Bernard
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004108704	A1	20041216	WO 2004-GB2385	20040603

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI EP 2003-291341 A 20030605

OS MARPAT 142:43841

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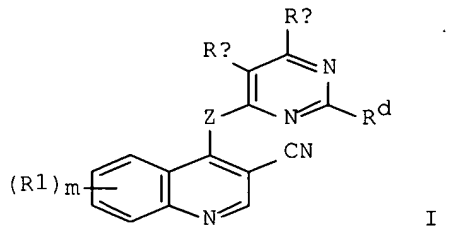


I

AB The invention concerns quinoline derivs. of Formula I, [Z = O, S, SO, SO2, N(R2), C(R2)(R3) group, wherein R2, R3 = H or C1-8 alkyl; m = 1-3; each R1 group has any of the meanings defined in the description; Ra = H, halogeno; Rb = H, halogeno, C1-8 alkyl or C1-6 alkoxy; and Rd is C1-6 alkoxy, or Ra and Rb together form a C1-3 alkylenedioxy group] or pharmaceutically-acceptable salts thereof; processes for their preparation, pharmaceutical compns. containing them and their use in the manufacture of a medicament for use as an anti-invasive agent in the containment and/or treatment of solid tumor disease. For example, 4-(5-chloro-2- methoxypyrimidin-4-ylamino)-3-cyano-6-methoxy-7-(3- morpholinopropoxy)quinoline was prepared

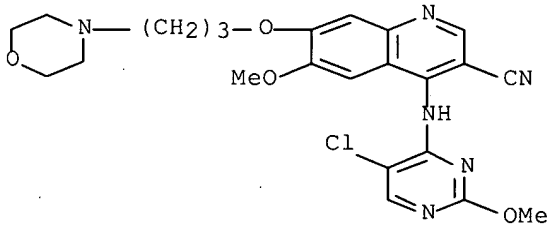
IT 807329-89-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidin-4-yl 3-cyanoquinoline derivs. for use in the treatment of tumors)

RN 807329-89-1 CAPLUS

CN 3-Quinolinecarbonitrile, 4-[(5-chloro-2-methoxy-4-pyrimidinyl)amino]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:1037099 CAPLUS Full-text

DN 142:23205

TI Preparation of quinoline derivatives as phosphodiesterase inhibitors

IN Baldwin, Ian Robert; Barker, Michael David; Dean, Anthony William; Eldred,

Colin David; Evans, Brian; Gough, Sharon Lisa; Guntrip, Stephen Barry; Hamblin, Julie Nicole; Holman, Stuart; Jones, Paul; Lindvall, Mika Kristian; Lunniss, Christopher James; Redfern, Tracy Jane; Redgrave, Alison Judith; Robinson, John Edward; Woodrow, Michael

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 243 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004103998	A1	20041202	WO 2004-EP5494	20040519
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004240759	A1	20041202	AU 2004-240759	20040519
	CA 2526228	A1	20041202	CA 2004-2526228	20040519
	EP 1633748	A1	20060315	EP 2004-733799	20040519
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
	BR 2004010477	A	20060530	BR 2004-10477	20040519
	CN 1823063	A	20060823	CN 2004-80020651	20040519
	JP 2007501264	T	20070125	JP 2006-529889	20040519
	NO 2005005421	A	20051220	NO 2005-5421	20051116
	IN 2005KN02416	A	20061013	IN 2005-KN2416	20051129
	US 2006178416	A1	20060810	US 2006-349677	20060208
	US 2007049570	A1	20070301	US 2006-349701	20060208
PRAI	GB 2003-11688	A	20030521		
	GB 2003-26187	A	20031110		
	WO 2004-EP5494	W	20040519		
	US 2006-557079	A1	20060523		

OS MARPAT 142:23205

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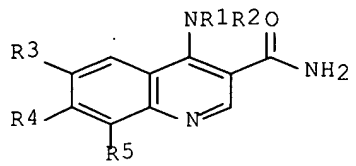
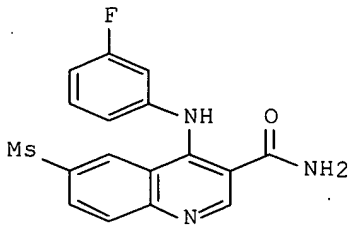


I



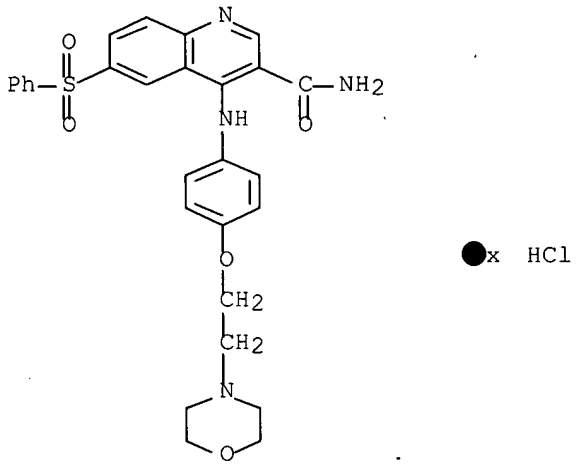
II

AB Title compds. represented by the formula I [wherein R1 = (un)substituted (cyclo)alkyl, (hetero)aryl, cycloalkylalkyl, etc.; R2 = H or alkyl; R3 = H, (un)substituted SOnalkyl, 2-oxopyrrolidin-1-yl, cycloalkyl, etc.; R4 = H or

SOnalkyl; R5 = H, halo, alkyl, alkoxy; n = 0-2; and pharmaceutically acceptable salts thereof] were prepared as phosphodiesterase inhibitors. For example, reaction of 4-chloro-6-(methylsulfonyl)-3- quinolinecarboxamide with 3-fluoroaniline gave II. Selected prepared compds. were tested for inhibition of PDE4B (human recombinant) enzyme and PDE5 with pIC50 values in the range of 6.0-11.7 and 4.5-7.0, resp. Thus, I and their pharmaceutical compns. are useful as phosphodiesterase inhibitors, especially PDE4 inhibitors, for the prophylaxis or treatment of a clin. condition, such as inflammatory and/or allergic diseases (no data).

IT 801307-29-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline derivs. as phosphodiesterase inhibitors for the treatment of inflammatory diseases)

RN 801307-29-9 CAPLUS
CN 3-Quinolinecarboxamide, 4-[[4-[2-(4-morpholinyl)ethoxy]phenyl]amino]-6-(phenylsulfonyl)-, hydrochloride (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:995977 CAPLUS Full-text
DN 141:420417
TI Therapeutic agents comprising an anti-angiogenic agent in combination with an Src inhibitor for use in normotensive treatment of angiogenesis
IN Curwen, Jon Owen; Wedge, Stephen Robert
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

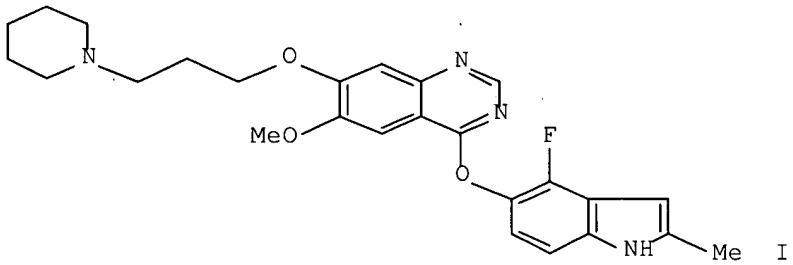
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004098604	A1	20041118	WO 2004-GB1939	20040504

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,

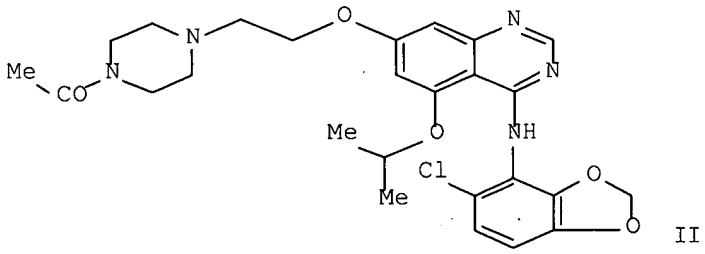
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU	2004237132	A1	20041118	AU 2004-237132	20040504
CA	2519930	A1	20041118	CA 2004-2519930	20040504
EP	1620104	A1	20060201	EP 2004-731049	20040504
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
BR	2004009742	A	20060509	BR 2004-9742	20040504
CN	1784232	A	20060607	CN 2004-80012089	20040504
JP	2006525304	T	20061109	JP 2006-506222	20040504
NO	2005004411	A	20051130	NO 2005-4411	20050923
US	2006223815	A1	20061005	US 2005-555389	20051103
PRAI GB	2003-10401	A	20030507		
	WO 2004-GB1939	W	20040504		

GI



I



II

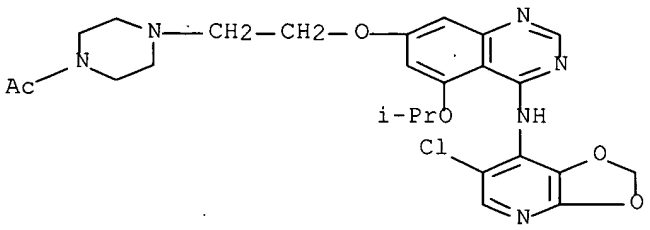
AB The invention relates to the use of an anti-angiogenic agent, such as I (preparation given), in combination with an inhibitor of the Src family of non-receptor tyrosine kinases, such as the II (prepns. according to a previous patent given), in the manufacture of a medicament for use in the substantially normotensive treatment in a warm-blooded mammal such as a human being of a disease state associated with angiogenesis. The invention provides for the Src kinase inhibitor to be administered in an amount effective to counteract substantially the hypertension induced by the anti-angiogenic agent. Thus, 7-(2-chloroethoxy)-4-(6-chloro-2,3- methylenedioxyanilino)-5-isopropoxyquinazoline was coupled with 1-acetylpiperazine using KI in DMA to give I. The diastolic blood pressure profile of rats over a 24 h period after administration of a combination of 1.5 mg/kg of I and 25 mg/kg of II

demonstrated that the contrasting blood pressure effects of the antiangiogenic agent and the Src kinase inhibitor were substantially counterbalanced.

IT 692054-06-1, 7-[2-(4-Acetylpiperazin-1-yl)ethoxy]-4-[(5-chloro-2,3-methylenedioxypyridin-4-yl)amino]-5-isopropoxyquinazoline
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Src kinase inhibitor; therapeutic agents comprising an anti-angiogenic agent in combination with an Src inhibitor for use in normotensive treatment of angiogenesis)

RN 692054-06-1 CAPLUS

CN Piperazine, 1-acetyl-4-[2-[[4-[(6-chloro-1,3-dioxolo[4,5-b]pyridin-7-yl)amino]-5-(1-methylethoxy)-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:886368 CAPLUS Full-text

DN 141:360213

TI Novel Purine Nitrile Derived Inhibitors of the Cysteine Protease Cathepsin K

AU Altmann, Eva; Cowan-Jacob, Sandra W.; Missbach, Martin

CS Novartis Institutes for BioMedical Research, Basel, CH-4002, Switz.

SO Journal of Medicinal Chemistry (2004), 47(24), 5833-5836
CODEN: JMCMAR; ISSN: 0022-2623

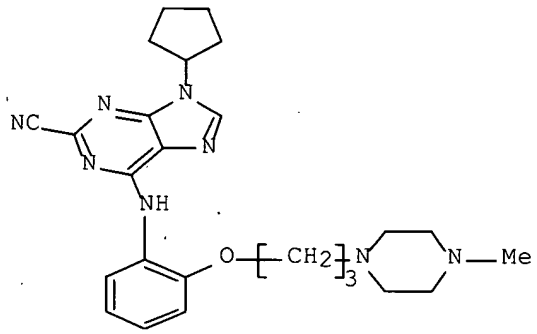
PB American Chemical Society

DT Journal

LA English

OS CASREACT 141:360213

GI



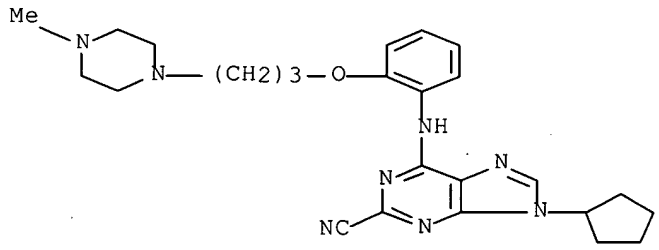
I

AB Starting from a high-throughput screening hit, novel cathepsin K inhibitors have been developed based on a purine scaffold. High-resolution X-ray structures of several derivs. have revealed the binding mode of these unique cysteine protease inhibitors.

IT 669003-73-0P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(purine nitrile derived inhibitors of cathepsin K with bone resorption inhibiting activity)

RN 669003-73-0 CAPLUS

CN 9H-Purine-2-carbonitrile, 9-cyclopentyl-6-[[2-[3-(4-methyl-1-piperazinyl)propoxy]phenyl]amino]- (9CI) (CA INDEX NAME)



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:857372 CAPLUS Full-text

DN 141:350196

TI Preparation of quinazoline derivatives as selective Src kinase inhibitors

IN Curwen, Jon Owen

PA Astrazeneca Ab, Swed.; Astrazeneca UK Limited

SO PCT Int. Appl., 58 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004087120	A2	20041014	WO 2004-GB1286	20040323
	WO 2004087120	A3	20050127		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI GB 2003-7333 A 20030329

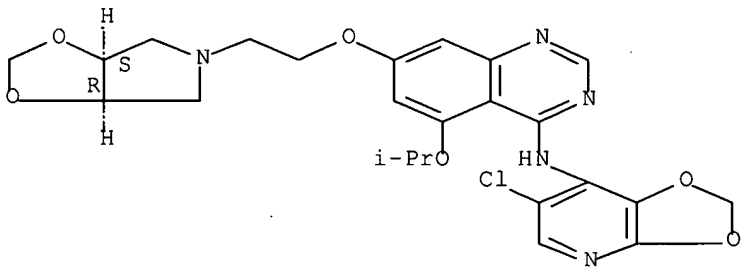
AB The invention relates to the use of quinazoline derivative as a Src kinase inhibitor in the production of a medicament for use in the prophylaxis or treatment of hypertension. More particularly, the invention concerns the anti-hypertensive use of a selective Src kinase inhibitor that possess less potent VEGF receptor tyrosine kinase inhibitory properties. The invention also relates to a combination product comprising a Src kinase inhibitor and one or more further anti-hypertensive agents and to the use of Src kinase inhibitors as primary regulators of cardiovascular disease and in the prevention of stroke. For example, 7-[2-(4-acetylpiperazin-1- yl)ethoxy]-4-(5-chloro-2,3-methylenedioxypyrid-4-ylamino)-5- isopropoxyquinazoline administered to rats at 25 mg/kg p.o. on day 1 showed hypotensive effect of 25 mmHg on day 2.

IT 692054-44-7, 4-(5-Chloro-2,3-methylenedioxypyrid-4-ylamino)-7-[2-[(3RS,4SR)-3,4-methylenedioxypyrrolidin-1-yl]ethoxy]-5-isopropoxyquinazoline
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(preparation of quinazoline derivs. as selective Src kinase inhibitors and regulators of cardiovascular disease for prophylaxis or treatment of hypertension or for prevention of stroke)

RN 692054-44-7 CAPLUS

CN 4-Quinazolinamine, N-(6-chloro-1,3-dioxolo[4,5-b]pyridin-7-yl)-5-(1-methylethoxy)-7-[2-[(3aR,6aS)-tetrahydro-5H-1,3-dioxolo[4,5-c]pyrrol-5-yl]ethoxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L6 ANSWER 24 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:754408 CAPLUS Full-text

DN 141:277630

TI A preparation of derivatives of pyridine, pyrimidine, quinoline, quinazoline, and naphthalene, useful as urotensin-II receptor antagonists

IN Wu, Chengde; Anderson, C. Eric; Bui, Huong; Gao, Daxin; Holland, George W.; Kassir, Jamal; Li, Wen; Wang, Junmei; Dupre, Brian

PA Encysive Pharmaceuticals Inc., USA

SO PCT Int. Appl., 110 pp.
CODEN: PIXXD2

DT Patent

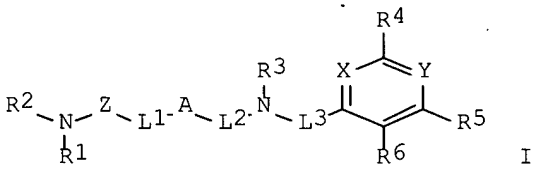
LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078114	A2	20040916	WO 2004-US5150	20040220
	WO 2004078114	A3	20050217		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004218456	A1	20040916	AU 2004-218456	20040220
	CA 2517166	A1	20040916	CA 2004-2517166	20040220
	EP 1603884	A2	20051214	EP 2004-713383	20040220
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006519258	T	20060824	JP 2006-508787	20040220
PRAI	US 2003-451089P	P	20030228		
	WO 2004-US5150	W	20040220		

OS MARPAT 141:277630

GI



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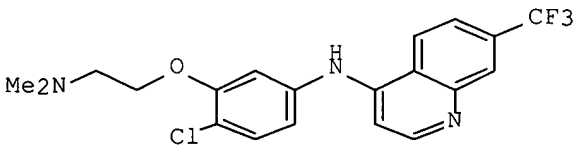


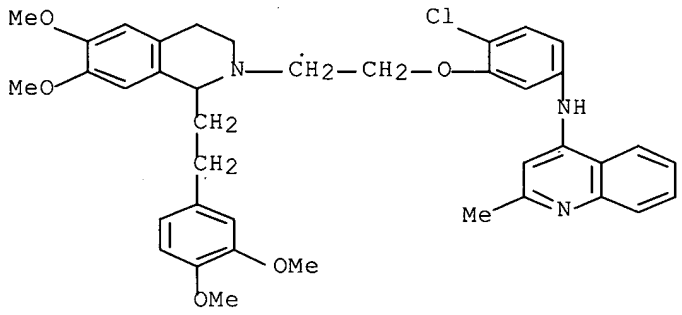
II

AB The invention relates to a preparation of derivs. of pyridine, pyrimidine, quinoline, quinazoline, and naphthalene of formula I [wherein: A is (hetero)aryl, benzoheteroaryl, pyridone, pyridazinone, and pyrimidone; Z is (CH2)1-6; R1 and R2 are independently H, alkyl, or R1 and R2 along with N can form pyrrolidone or piperazine, etc.; R3 is H, alkyl, or arylalkyl; X and Y are independently C or N; R4, R5, and R6 are independently selected from H,

alkyl, (hetero)aryl, halogen, or alkoxy, etc.; L1 is a single bond or O, C(O), SO2, or (hetero)arene; L2 and L3 are independently selected from a single bond, CH2, C(O), SO2, or NH], useful as urotensin-II receptor antagonists. The prepared compds. were tested for inhibition of human [125I]-urotensin-II binding to urotensin-II receptor and inhibition of human urotensin-II-induced Ca2+ mobilization (for instance, for II IC50 was 6.5 μM).

IT 758711-92-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of derivs. of pyridine, pyrimidine, quinoline, quinazoline, and
naphthalene, useful as urotensin-II receptor antagonists)

RN 758711-92-1 CAPLUS
CN 4-Quinolinamine, N-[4-chloro-3-[2-[1-[2-(3,4-dimethoxyphenyl)ethyl]-3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl]ethoxy]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

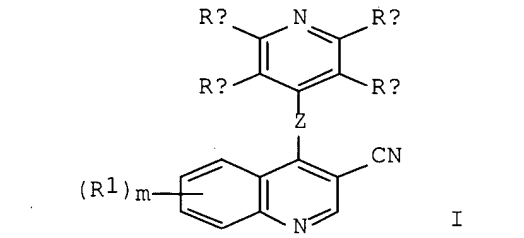


L6 ANSWER 25 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:681573 CAPLUS Full-text
DN 141:207068
TI Preparation of 3-cyanoquinoline non-receptor tyrosine kinase inhibitors as antitumor agents
IN Barlaam, Bernard
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004069249	A1	20040819	WO 2004-GB367	20040130

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN,

GQ, GW, ML, MR, NE, SN, TD, TG
PRAI EP 2003-290260 A 20030203
OS MARPAT 141:207068
GI



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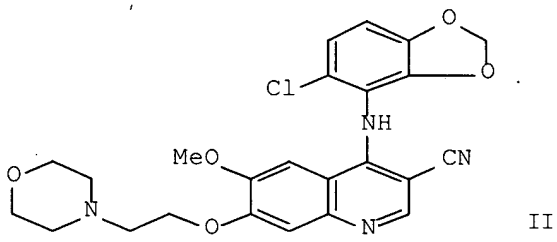
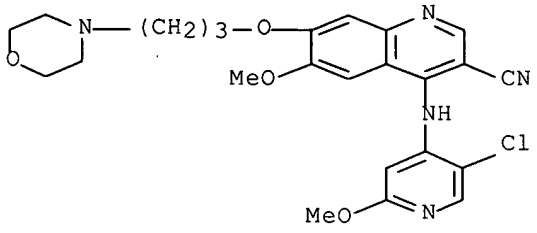


II

AB Title quinolinenitriles I [wherein Z = O, S, SO, SO2, NR2, CR2R3; R1 = independently halo, CF3, CN, NC, NO2, OH, SH, NH2, CHO, CO2H, carbamoyl, sulfamoyl, alkyl, alkenyl, alkynyl, etc.; R2, R3 = independently H, alkyl; m = 1-3; Ra = H, halo; Rb, Rd = independently H, halo, alkyl, alkoxy; Rc = alkoxy; or RcRd = alkylenedioxy; or pharmaceutically acceptable salts thereof] were prepared as non-receptor tyrosine kinase inhibitors. For example, reaction of 7-(2-chloroethoxy)-4-(5-chloro-2,3- methylenedioxypyridin-4-ylamino)-3-cyano-6-methoxyquinoline with morpholine using KI in DMA gave II. The latter inhibited the phosphorylation of a tyrosine containing polypeptide substrate by human recombinant c-Src kinase (IC50 = 0.01 μM), suppressed the proliferation of mouse 3T3 fibroblast cells stably-transfected with an activating mutant of human c-Src (IC50 = 0.2 μM), and inhibited the migration of the human tumor cell line A549 (IC50 = 0.7 μM). In addition, no physiol. unacceptable toxicity was observed at the ED for compds. tested in an in vivo A549 xenograft growth assay using athymic nude mice. Thus, I and pharmaceutical compns. containing them are useful as anti-invasive agents in the containment and/or treatment of solid tumor disease.

IT 742072-77-1P, 4-[(5-Chloro-2-methoxypyridin-4-yl)amino]-3-cyano-6-methoxy-7-[3-(morpholino)propoxy]quinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antitumor agent; preparation of quinolinenitrile c-Src kinase inhibitors as antitumor agents)

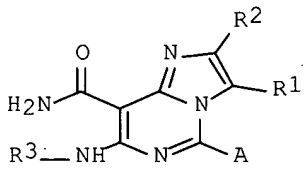
RN 742072-77-1 CAPLUS
CN 3-Quinolinecarbonitrile, 4-[(5-chloro-2-methoxy-4-pyridinyl)amino]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



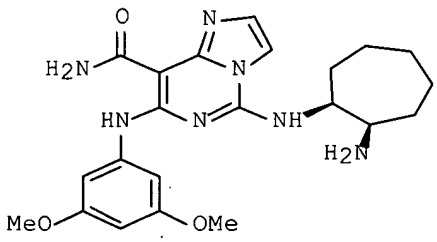
L6 ANSWER 26 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:588212 CAPLUS Full-text
DN 141:140458
TI Preparation of imidazopyrimidines as tyrosine kinase inhibitors
IN Hirabayashi, Akihito; Mukoyama, Harunobu; Shiohara, Hiroaki; Kobayashi, Hiroaki; Terao, Yoshihiro; Miyazawa, Keiji; Misawa, Keiko; Onoda, Hideki
PA Kissei Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 117 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2004203748	A	20040722	JP 2002-371196	20021224
PRAI JP 2002-371196		20021224		

OS MARPAT 141:140458
GI



I



II

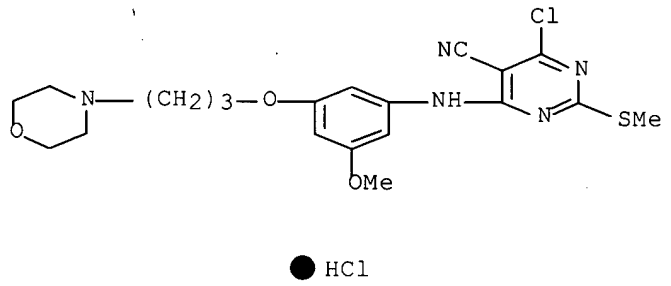
AB Title compds. I [R1, R2 = H, alkyl, etc.; R3 = H, alkyl, etc.; A = H, alkyl, etc.] were disclosed. In Syk tyrosine kinase inhibition assays, the Ki value of compound II was 1.6 nM. Of note, compds. I have potent inhibition activity

against ZAP-70 and/or Syk tyrosine kinase. Compds. I are claimed useful for the treatment of bronchial asthma, allergic rhinitis, etc.

IT 725237-34-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazopyrimidines as tyrosine kinase inhibitors for treatment of bronchial asthma and allergic dermatitis)

RN 725237-34-3 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-chloro-6-[[3-methoxy-5-[3-(4-morpholinyl)propoxy]phenyl]amino]-2-(methylthio)-, monohydrochloride (9CI) (CA INDEX NAME)



L6 ANSWER 27 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:546501 CAPLUS Full-text

DN 141:106486

TI Preparation of 4-(pyridin-4-ylamino)quinazolines as antitumor agents

IN Barlaam, Bernard

PA Astrazeneca AB, Swed.; Astrazeneca UK Limited

SO PCT Int. Appl., 87 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004056812	A1	20040708	WO 2003-GB5534	20031218
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003292435	A1	20040714	AU 2003-292435	20031218
PRAI	EP 2002-293220	A	20021223		
	WO 2003-GB5534	W	20031218		

OS MARPAT 141:106486

GI

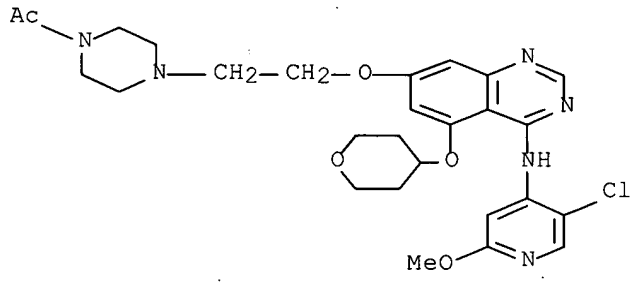
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Quinazolines I [Z = O, S, SO, SO2, (un)substituted NH2, CH2; m =1, 2 3; R1 = halogen, CF3, CN, NO2, (un)substituted OH, SH, NH2, CHO, CO2H, CONH2, alkyl, alkenyl, alkynyl, SO2NH2; R2 = H, halogen; R3, R5 = H, halogen, alkyl, alkoxy; R4 = alkoxy] were prepared for use as an anti-invasive agent in the containment and/or treatment of solid tumor disease (no data). Thus, 5-chloro-2-methoxypyridine was converted to its N-oxide, nitrated to 5-chloro-2-methoxy-4-nitropyridine and reduced to the amine which was treated with the 4-chloroquinazoline fragment to give the quinazoline II. The chloroquinazoline fragment was prepared by treating 5,7-difluoro-3,4- dihydroquinazolin-4-one with 4-tetrahydropyranol followed by 1-(2-hydroxyethyl)piperazine and acetylation.

IT 719304-91-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(pyridin-4-ylamino)quinazolines as antitumor agents)

RN 719304-91-3 CAPLUS

CN Piperazine, 1-acetyl-4-[2-[[4-[(5-chloro-2-methoxy-4-pyridinyl)amino]-5-[(tetrahydro-2H-pyran-4-yl)oxy]-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



I

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:534192 CAPLUS Full-text
DN 141:89101
TI Preparation of carboxylic acid, phosphate, or phosphonate substituted (quinazolin-4-yl)amines as capsaicin receptor modulators
IN Bakthavatchalam, Rajagopal; Blum, Charles A.; Brielmann, Harry; Caldwell, Timothy M.; De Lombaert, Stephane; Hodgetts, Kevin J.; Zheng, Xiaozhang
PA Neurogen Corporation, USA
SO PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004055004	A1	20040701	WO 2003-US39607	20031212
WO 2004055004	A8	20050721		

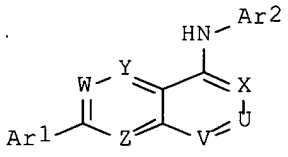
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,

NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2509239	A1	20040701	CA 2003-2509239	20031212
AU 2003300898	A1	20040709	AU 2003-300898	20031212
US 2004156869	A1	20040812	US 2003-735607	20031212
EP 1569926	A1	20050907	EP 2003-813411	20031212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1726205	A	20060125	CN 2003-80105815	20031212
JP 2006515847	T	20060608	JP 2004-560828	20031212
US 2006089354	A1	20060427	US 2005-539031	20050613
PRAI US 2002-433139P	P	20021213		
WO 2003-US39607	W	20031212		

OS MARPAT 141:89101

GI



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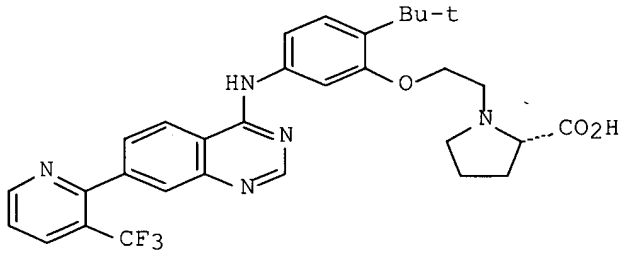
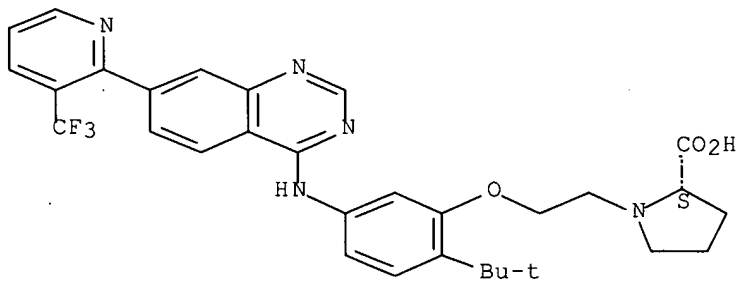


II

AB Title acid-substituted (quinazolin-4-yl)amines and analogs (I) [wherein V, W, X, Y, and Z = independently N, CR1, with the proviso that at least one of V and X = N; U = N, CR2, with the proviso that if V and X = N, then U = CR2; R1 = independently H, halo, OH, CN, NH2, CO2H, (halo)alkyl, (halo)alkoxy, alkoxycarbonyl, (di)alkylamino; R2 = H, halo, CN, NO2, (un)substituted alkyl, alkenyl, or alkynyl optionally interrupted by O, S, SO, SO2, CO, OCO, CO2, OCO2, CHNH, NHCO, NHSO2, SO2NH, NH, OPO2(OH), or PO2(OH); Ar1 and Ar2 = independently (un)substituted carbocyclyl, heterocyclyl; and pharmaceutically acceptable forms thereof] were prepared as modulators of capsaicin receptors, especially the vanilloid receptor 1 (VR1). For example, 2-tert-butyl-5-nitrophenol was condensed with 2-(tert-butyldimethylsilyloxy)ethanol, and the resulting nitrophenyl ether reduced to give the substituted aniline. Condensation of the phenylamine with 4-chloro-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4-ol, followed by deprotection, coupling with L-proline Me ester, and saponification provided II. In competition binding assays, invention compds. exhibited Ki ≤ 1 μM for VR1 expressed in human embryonic kidney (HEK293) cells. Thus, I and their pharmaceutical compns. are useful for treating disorders associated with pathol. receptor activation, such as pain, in humans, domesticated companion animals, and livestock animals (no data).

IT 714956-49-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(VR1 inhibitor; preparation of acid-substituted (quinazolin-4-yl)amines as VR1 inhibitors for treatment of pain and other VR1-mediated conditions)
RN 714956-49-7 CAPLUS
CN L-Proline, 1-[2-[2-(1,1-dimethylethyl)-5-[[7-[3-(trifluoromethyl)-2-pyridinyl]-4-quinazolinyl]amino]phenoxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 29 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:430753 CAPLUS Full-text
DN 141:1220
TI Preparation of quinazolines as Src family non-receptor tyrosine kinase inhibitors for use in combination therapy with gemcitabine for treatment and prophylaxis of pancreatic cancer
IN Barge, Alan
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004043472	A1	20040527	WO 2003-GB4787	20031107
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2504666	A1	20040527	CA 2003-2504666	20031107
AU 2003279456	A1	20040603	AU 2003-279456	20031107
EP 1562612	A1	20050817	EP 2003-772404	20031107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

	BR 2003016170	A	20050927	BR 2003-16170	20031107
	CN 1711094	A	20051221	CN 2003-80103138	20031107
	JP 2006508953	T	20060316	JP 2004-550784	20031107
	NO 2005002312	A	20050606	NO 2005-2312	20050511
	US 2006142297	A1	20060629	US 2005-534721	20051020
PRAI	GB 2002-26434	A	20021113		
	WO 2003-GB4787	W	20031107		

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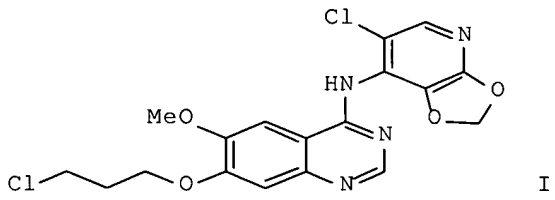


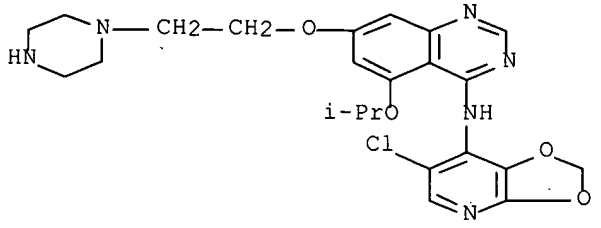
I

AB The invention concerns a combination comprising an inhibitor of Src kinase and the cytotoxic agent, gemcitabine, a pharmaceutical composition comprising such a combination, and its use in the treatment or prophylaxis of cancer, particularly of pancreatic cancer. Examples include prepns. for anilino- and (pyridylamino)quinazoline Src inhibitors (no Markush structure given) and bioassays demonstrating the synergistic effect of treating pancreatic cancer with a quinazoline Src inhibitor in combination with gemcitabine. For instance, 4-amino-5-chloro-2,3-methylenedioxypyridine was coupled with 4-chloro-7-(3-chloropropoxy)-6-methoxyquinazoline (preparation of reactants given) in the presence of sodium hexamethyldisilazane in THF to afford the (pyridylamino)quinazoline I. Nude mice were injected with pancreatic tumor cells derived from the COLO 357 human pancreatic cancer cell line and treated with gemcitabine, the Src inhibitor, 4-(2-chloro-5- methoxyanilino)-6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazoline, or a combination of the two. Evaluation for tumor growth and incidence of liver metastases showed that, compared with the weight of control tumors, tumor growth in animals treated with the combination was much reduced (1359 mg and 124 mg, resp.) to a level well below that achievable on the dosing of either gemcitabine or the Src inhibitor alone. In addition, there was no liver metastasis in the animals treated with the combination, whereas liver metastasis was present in 1/5 of the animals treated with gemcitabine alone.

IT 692055-28-0P, 5-Isopropoxy-7-[2-(piperazin-1-yl)ethoxy]-4-[(5-chloro-2,3-methylenedioxypyridin-4-yl)amino]quinazoline
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(antitumor agent; preparation of quinazoline-containing Src inhibitors for use
in synergistic combination with gemcitabine for treatment and prophylaxis of pancreatic cancer)

RN 692055-28-0 CAPLUS

CN 4-Quinazolinamine, N-(6-chloro-1,3-dioxolo[4,5-b]pyridin-7-yl)-5-(1-methylethoxy)-7-[2-(1-piperazinyl)ethoxy]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 30 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:414727 CAPLUS Full-text
DN 140:423698
TI Preparation of quinazoline derivatives as c-Src tyrosine kinase inhibitors
IN Ple, Patrick
PA Astrazeneca Ab, Swed.; Astrazeneca Uk Limited
SO PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004041829	A1	20040521	WO 2003-GB4703	20031029
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2503371	A1	20040521	CA 2003-2503371	20031029
	AU 2003278383	A1	20040607	AU 2003-278383	20031029
	EP 1562955	A1	20050817	EP 2003-769689	20031029
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003015756	A	20050906	BR 2003-15756	20031029
	CN 1735617	A	20060215	CN 2003-80108194	20031029
	JP 2006506463	T	20060223	JP 2005-502127	20031029
	IN 2005DN01534	A	20061229	IN 2005-DN1534	20050415
	NO 2005001900	A	20050601	NO 2005-1900	20050419
	US 2006122199	A1	20060608	US 2005-533931	20050504
PRAI	EP 2002-292736	A	20021104		
	EP 2003-290900	A	20030410		
	WO 2003-GB4703	W	20031029		

OS MARPAT 140:423698
GI

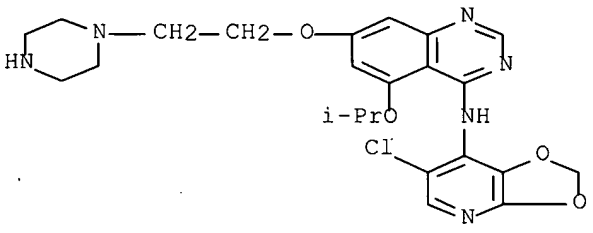
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [R1 = halo, CF3, cyano, isocyano, NO3, OH, SH, amino, formyl, carboxy, carbamoyl, alkyl, alkenyl, alkynyl, alkoxy, etc.; Z = O, SO, SO2, N(R2)2, or C(R2)2; R2 = H or alkyl; m = 0-3; R3 = halo, CF3, CN, NO2, OH, amino, carboxy, carbamoyl, alkyl, alkenyl, alkynyl, alkoxy, etc.; n =0-3] were prepared as c-Src tyrosine kinase inhibitors in the containment and/or treatment of solid tumor disease. For example, reaction of 4-amino-5-chloro-2,3-methylenedioxypyridine(preparation given) and 4-chloro-7-(3-chloropropoxy)-6-methoxyquinazoline (preparation given) yielded compound II.

IT 692055-28-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinazoline derivs. as c-Src tyrosine kinase inhibitors)

RN 692055-28-0 CAPLUS

CN 4-Quinazolinamine, N-(6-chloro-1,3-dioxolo[4,5-b]pyridin-7-yl)-5-(1-methylethoxy)-7-[2-(1-piperazinyl)ethoxy]- (9CI) (CA INDEX NAME)



L6 ANSWER 31 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:252350 CAPLUS Full-text

DN 140:264537

TI Pyrimidine and triazine compounds as inhibitors of TGFβ, preparation thereof, and therapeutic use

IN Axon, Jonathan; Chakravarty, Sarvajit; Dugar, Sundeep; McEnroe, Glen; Murphy, Alison

PA Scios Inc., USA

SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004024159	A1	20040325	WO 2003-US28590	20030910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2498460	A1	20040325	CA 2003-2498460	20030910
AU 2003272324	A1	20040430	AU 2003-272324	20030910

	US 2004132730	A1	20040708	US 2003-660115	20030910
	EP 1549316	A1	20050706	EP 2003-754501	20030910
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003014196	A	20050726	BR 2003-14196	20030910
	CN 1694708	A	20051109	CN 2003-824984	20030910
	JP 2006503043	T	20060126	JP 2004-536518	20030910
	IN 2005KN00525	A	20060224	IN 2005-KN525	20050329
PRAI	US 2002-409870P	P	20020910		
	WO 2003-US28590	W	20030910		

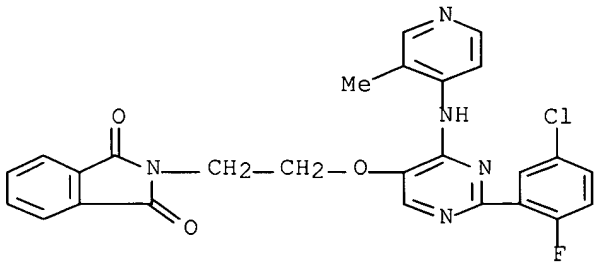
OS MARPAT 140:264537

AB Substituted pyrimidines and triazines are useful in the treatment to conditions associated with enhanced TGFβ activity. Compound preparation is included.

IT 674794-23-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrimidine and triazine compds. as inhibitors of TGFβ, preparation, and therapeutic use)

RN 674794-23-1 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[[2-(5-chloro-2-fluorophenyl)-4-[(3-methyl-4-pyridinyl)amino]-5-pyrimidinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 32 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:203835 CAPLUS Full-text

DN 140:235754

TI Preparation of heteroaryl nitriles for treating disorders involving cathepsin K

IN Altmann, Eva; Betschart, Claudia; Hayakawa, Kenji; Irie, Osamu; Sakaki, Junichi; Iwasaki, Genji; Lattmann, Rene; Missbach, Martin; Teno, Naoki

PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SO PCT Int. Appl., 110 pp.
CODEN: PIXXD2

DT Patent

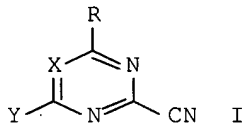
LA English

FAN.CNT 1

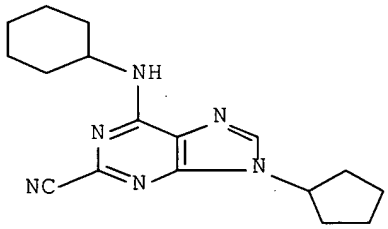
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004020441	A1	20040311	WO 2003-EP9621	20030829
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				

	RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
	CA 2494931	A1	20040311	CA 2003-2494931	20030829
	AU 2003266330	A1	20040319	AU 2003-266330	20030829
	EP 1537111	A1	20050608	EP 2003-790945	20030829
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003013968	A	20050719	BR 2003-13968	20030829
	CN 1678613	A	20051005	CN 2003-820604	20030829
	JP 2006500385	T	20060105	JP 2004-532149	20030829
	US 2006142575	A1	20060629	US 2005-525658	20050823
PRAI	GB 2002-20187	A	20020830		
	WO 2003-EP9621	W	20030829		
OS	MARPAT 140:235754				

GI



I

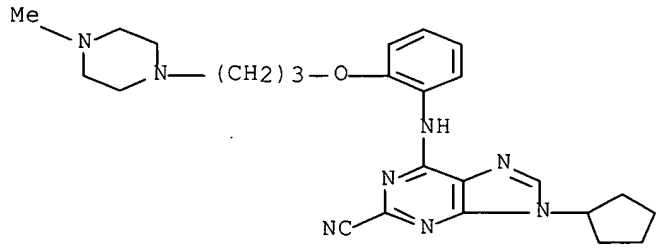


II

AB The invention provides heteroaryl nitriles (shown as I; variables defined below; the examples are mostly pyrimidines, quinazolines and purines, e.g. II) or a pharmaceutically acceptable salt or ester thereof, which are inhibitors of cathepsin K and find use pharmaceutically for treatment of diseases and medical conditions in which cathepsin K is implicated, e.g. various disorders including inflammation, rheumatoid arthritis, osteoarthritis, osteoporosis and tumors. Compds. I typically have Ki's for human cathepsin K of .ltorsim.50 nM, preferably of .ltorsim.5 nM, e.g. .apprx.1 nM; values for individual I are not given. For I: R is H, -R2, -OR2 or NR1R2, wherein R1 is H, lower alkyl or C3-C10 cycloalkyl, and R2 is lower alkyl or C3-C10 cycloalkyl, and wherein R1 and R2 are (un)substituted by halo, hydroxy, lower alkoxy, CN, NO2, or optionally mono- or di-lower alkyl substituted amino; X is :N- or :C(Z)-, wherein Z is H, -R4, -C.tplbond.C-CH2-R5, C(P):C(Q)-R3; Y = -NR8R9; Z and Y together with the C atoms to which they are attached can be joined to provide a ring; addnl. details are given in the claims. Methods of preparation are claimed and many example prepns. are included. For example, II was prepared in 3 steps starting with N-heteroarylation of cyclohexylamine by 2,6-dichloropurine followed by N-cycloalkylation of the purine by bromocyclopentane, followed by substitution of Cl in 2-chloro-6-cyclohexylamino-9-cyclopentylpurine by NaCN.

IT 669003-73-0P, 9-Cyclopentyl-6-[[2-[3-(4-methylpiperazin-1-yl)propoxy]phenyl]amino]purine-2-carbonitrile
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heteroaryl nitriles for treating disorders involving cathepsin K)

RN 669003-73-0 CAPLUS
CN 9H-Purine-2-carbonitrile, 9-cyclopentyl-6-[[2-[3-(4-methyl-1-piperazinyl)propoxy]phenyl]amino]- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 33 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:142963 CAPLUS Full-text
DN 140:199334
TI Preparation of 2,4-pyrimidinediamines as IgE and/or IgG receptor modulators for treatment of autoimmune diseases
IN Singh, Rajinder; Argade, Ankush; Payan, Donald G.; Clough, Jeffrey; Keim, Holger; Sylvain, Catherine; Li, Hui; Bhamidipati, Somasekhar
PA Rigel Pharmaceuticals, USA
SO PCT Int. Appl., 811 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004014382	A1	20040219	WO 2003-US24087	20030729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2492325	A1	20040219	CA 2003-2492325	20030729
AU 2003265336	A1	20040225	AU 2003-265336	20030729
EP 1534286	A1	20050601	EP 2003-784871	20030729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013059	A	20050705	BR 2003-13059	20030729
CN 1678321	A	20051005	CN 2003-821120	20030729
JP 2006514989	T	20060518	JP 2005-506142	20030729
NZ 537752	A	20061222	NZ 2003-537752	20030729
US 2005038243	A1	20050217	US 2004-858343	20040601
US 7060827	B2	20060613		
US 2005209230	A1	20050922	US 2004-911684	20040803
SE 2005000203	A	20050329	SE 2005-203	20050127
NO 2005001069	A	20050228	NO 2005-1069	20050228

	IN 2005KN00302	A	20060421	IN 2005-KN302	20050228
	US 2006025410	A1	20060202	US 2005-149105	20050608
	US 2006035916	A1	20060216	US 2005-148746	20050608
	US 2006058292	A1	20060316	US 2005-149418	20050608
	US 2006135543	A1	20060622	US 2005-299207	20051208
PRAI	US 2002-399673P	P	20020729		
	US 2003-443949P	P	20030131		
	US 2003-452339P	P	20030306		
	US 2003-631029	A	20030729		
	US 2002-353267P	P	20020201		
	US 2002-353333P	P	20020201		
	US 2003-399673P	P	20020729		
	US 2002-434277P	P	20021217		
	US 2003-355543	A1	20030131		
	US 2003-453949P	P	20030131		
	WO 2003-US24087	W	20030729		
	US 2004-858343	A3	20040601		

OS MARPAT 140:199334

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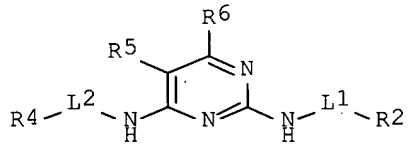

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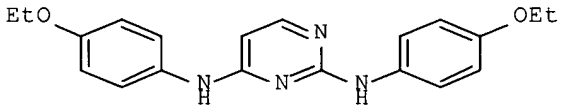


II

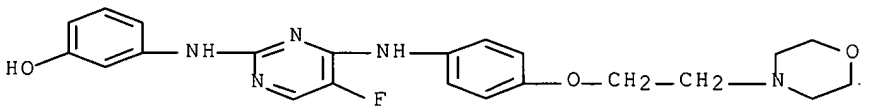
AB The present invention provides methods of treating or preventing autoimmune diseases with 2,4-pyrimidinediamine compds., as well as methods of treating, preventing or ameliorating symptoms associated with such diseases. Title compds. I [wherein L1 and L2 = independently a bond or a linker; R2 = (un)substituted alkyl, (hetero)cycloalkyl, or (hetero)aryl; R4 = H or R2; R5 = R6 or (un)substituted alkyl, alkenyl, or alkynyl; R6 = independently H, an electroneg. group, protected alc. or thiol, haloalkyl(oxy), halo, CN, NC, OCN, SCN, NO, NO2, N3, or (un)substituted amino, sulfamoyl(oxy), acyl, carboxy, carbamoyl, (hetero)aryl(alkyl), etc.; with provisos and exclusions; and salts, hydrates, solvates, N-oxides, and prodrugs thereof] were prepared as inhibitors of the IgE and/or IgG receptor signaling cascades that lead to the release of chemical mediators. For example, coupling of 2,4-dichloropyrimidine with 4-ethoxyaniline in EtOH provided N2,N4-bis(4-ethoxyphenyl)-2,4- pyrimidinediamine (II). The latter inhibited degranulation of bone marrow derived mast cells challenged with anti-IgE and ionomycin with IC50 values of 4.5 μM and 4.4 μM, resp. Thus, I and their pharmaceutical compns. are useful in the treatment and prevention of diseases characterized by, caused by, or associated with the release of chemical mediators via degranulation of mast, basophil, neutrophil, or eosinophil cells and other processes effected by activation of the IgE and/or IgG receptor signaling cascades. Specific examples of autoimmune diseases that can be treated or

prevented with I and their pharmaceutical compns. include rheumatoid arthritis, systemic lupus erythematosis, and multiple sclerosis (no data).

IT 575477-06-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(IgE and/or IgG receptor modulator; preparation of pyrimidinediamines as IgE
and/or IgG receptor modulators for treatment of autoimmune diseases)

RN 575477-06-4 CAPLUS

CN Phenol, 3-[[5-fluoro-4-[[4-[2-(4-morpholinyl)ethoxy]phenyl]amino]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 34 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:971736 CAPLUS Full-text
DN 140:16656
TI cis-N-(Quinolin-4-yl)cyclohexane-1,4-diamine derivatives as antagonists of melanin concentrating hormone (MCH) and their pharmaceutical compositions and therapeutic uses, e.g., for treatment of obesity
IN Kym, Philip R.; Hartandi, Kresna; Gao, Ju; Phelan, Kathleen M.; Akritopoulou-Zanze, Irini; Collins, Christine A.; Vasudevan, Anil; Verzal, Mary K.
PA Abbott Laboratories, USA
SO U.S. Pat. Appl. Publ., 89 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003229119	A1	20031211	US 2003-372359	20030221
	US 6818772	B2	20041116		
PRAI	US 2002-359081P	P	20020222		

OS MARPAT 140:16656
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is directed to the compds. of formula I, or therapeutically suitable salts, esters, prodrugs, or zwitterions thereof [R1, R2, R3 = H, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, OH, NH2 and derivs.; R4 = H, alkyl; R5 = -(CH2)mYAB; m = 0-6; A = bond, alkoxyalkylene, alkylene, or hydroxyalkylene; B = H, alkyl, aryl, aroyl, arylsulfonyl, aralkenyl, aryloxyalkyl, biaryl, biarylalkyl, cycloalkyl, heterocyclyl, heterocyclylcarbonyl, heterocyclylsulfonyl, haloalkyl, NH2 or derivs., carbamoyl or derivs., OH or derivs., SH or derivs.; Y = CO, S, SO, SO2, or

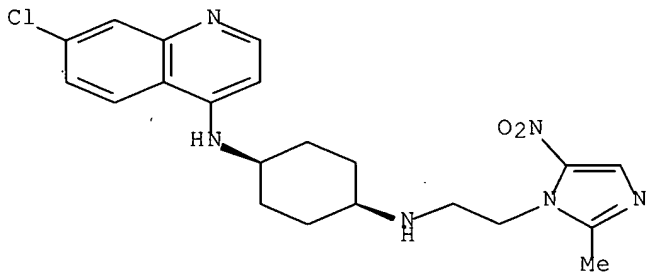
bond; R6 = H, alkyl, arylcarboxyalkyl; R7, R8, R9, R10 = H, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, OH; or R7R8 = oxo; with 4 provisos]. The invention further relates to the antagonism of the effects of melanin-concentrating hormone (MCH) through the MCH receptor, which is useful for the prevention or treatment of eating disorders, weight gain, obesity, abnormalities in reproduction and sexual behavior, thyroid hormone secretion, diuresis and water/electrolyte homeostasis, sensory processing, memory, sleeping, arousal, anxiety, depression, seizures, neurodegeneration and psychiatric disorders. Approx. 450 synthetic examples of I are given. For instance, reaction of N-(7-chloroquinolin-4-yl)cyclohexane-1,4-diamine (cis isomer) with 4-chloro-2,8-bis(trifluoromethyl)quinoline in N-methylpyrrolidinone the presence of Et3N at 150° gave title compound II. In a fluorescence assay for release of intracellular Ca++ induced by activation of MCHR, a more preferred group of compds. I inhibited MCH-induced fluorescence in a range of 90-100% at 10 μM. A more preferred group of I also gave 90-100% inhibition of 125I-MCH binding to human MCHR1 at 2 μM (no addnl. data).

IT 589492-49-9P, cis-N-(7-Chloroquinolin-4-yl)-N'-[2-(2-methyl-5-nitro-1H-imidazol-1-yl)ethyl]cyclohexane-1,4-diamine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinolinylcyclohexanediamine derivs. as MCH receptor antagonists)

RN 589492-49-9 CAPLUS

CN 1,4-Cyclohexanediamine, N-(7-chloro-4-quinolinyl)-N'-[2-(2-methyl-5-nitro-1H-imidazol-1-yl)ethyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 35 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:678662 CAPLUS Full-text

DN 139:214342

TI cis-N-(Quinolin-4-yl)cyclohexane-1,4-diamine derivatives as antagonists of melanin concentrating hormone (MCH) and their pharmaceutical compositions and therapeutic uses, e.g., for treatment of obesity

IN Kym, Philip R.; Hartandi, Kresna; Gao, Ju; Phelan, Kathleen M.; Akritopoulou-Zanze, Irini; Collins, Christine A.; Vasudevan, Anil; Verzal, Mary K.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 207 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003070244	A1	20030828	WO 2003-US5510	20030221
	W: CA, JP, MX				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
PRAI	US 2002-81675	A	20020222		
OS	MARPAT 139:214342				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

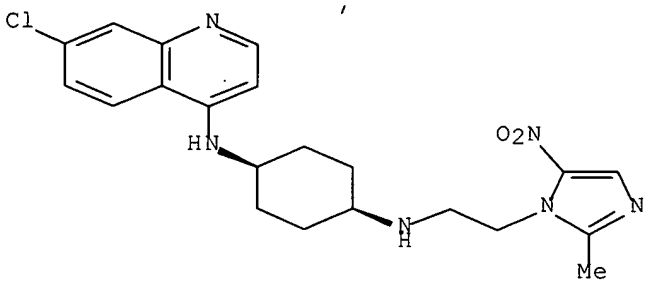
AB The invention is directed to the compds. of formula I, or therapeutically suitable salts, esters, prodrugs, or zwitterions thereof [R1, R2, R3 = H, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, OH, NH2 and derivs.; R4 = H, alkyl; R5 = -(CH2)mYAB; m = 0-6; A = bond, alkoxyalkylene, alkylene, or hydroxyalkylene; B = H, alkyl, aryl, aroyl, arylsulfonyl, aralkenyl, aryloxyalkyl, biaryl, biarylalkyl, cycloalkyl, heterocyclyl, heterocyclylcarbonyl, heterocyclylsulfonyl, haloalkyl, NH2 or derivs., carbamoyl or derivs., OH or derivs., SH or derivs.; Y = CO, S, SO, SO2, or bond; R6 = H, alkyl, arylcarboxyalkyl; R7, R8, R9, R10 = H, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, OH; or R7R8 = oxo; with 4 provisos]. The invention further relates to the antagonism of the effects of melanin-concentrating hormone (MCH) through the MCH receptor, which is useful for the prevention or treatment of eating disorders, weight gain, obesity, abnormalities in reproduction and sexual behavior, thyroid hormone secretion, diuresis and water/electrolyte homeostasis, sensory processing, memory, sleeping, arousal, anxiety, depression, seizures, neurodegeneration and psychiatric disorders. Approx. 450 synthetic examples of I are given. For instance, reaction of N-(7-chloroquinolin-4-yl)cyclohexane-1,4-diamine (cis isomer) with 4-chloro-2,8-bis(trifluoromethyl)quinoline in N-methylpyrrolidinone the presence of Et3N at 150° gave title compound II. In a fluorescence assay for release of intracellular Ca++ induced by activation of MCHR, a more preferred group of compds. I inhibited MCH-induced fluorescence in a range of 90-100% at 10 μM. A more preferred group of I also gave 90-100% inhibition of 125I-MCH binding to human MCHR1 at 2 μM (no addnl. data).

IT 589492-49-9P, cis-N-(7-Chloroquinolin-4-yl)-N'-[2-(2-methyl-5-nitro-1H-imidazol-1-yl)ethyl]cyclohexane-1,4-diamine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinolinylcyclohexanediamine derivs. as MCH receptor antagonists)

RN 589492-49-9 CAPLUS

CN 1,4-Cyclohexanediamine, N-(7-chloro-4-quinolinyl)-N'-[2-(2-methyl-5-nitro-1H-imidazol-1-yl)ethyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 36 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:610204 CAPLUS Full-text
DN 139:164801
TI Preparation of 2,4-pyrimidinediamines as IgE and/or IgG receptor modulators for treatment of allergic diseases, inflammatory conditions, and tissue destruction
IN Singh, Rajinder; Argade, Ankush; Payan, Donald G.; Molineaux, Susan; Holland, Sacha J.; Clough, Jeffrey; Keim, Holger; Bhamidipati, Somasekhar; Sylvain, Catherine; Li, Weigun; Rossi, Alexander B.
PA Rigel Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 648 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003063794	A2	20030807	WO 2003-US3022	20030131
	WO 2003063794	A3	20031204		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2474277	A1	20030807	CA 2003-2474277	20030131
	US 2004029902	A1	20040212	US 2003-355543	20030131
	EP 1471915	A2	20041103	EP 2003-707654	20030131
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005516046	T	20050602	JP 2003-563490	20030131
	CN 1625400	A	20050608	CN 2003-803180	20030131
	BR 2003007355	A	20060411	BR 2003-7355	20030131
	US 2005038243	A1	20050217	US 2004-858343	20040601
	US 7060827	B2	20060613		
	US 2005209230	A1	20050922	US 2004-911684	20040803
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	US 2006025410	A1	20060202	US 2005-149105	20050608
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	US 2006058292	A1	20060316	US 2005-149418	20050608
	US 2006135543	A1	20060622	US 2005-299207	20051208
PRAI	US 2002-353267P	P	20020201		
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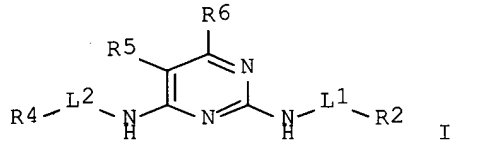
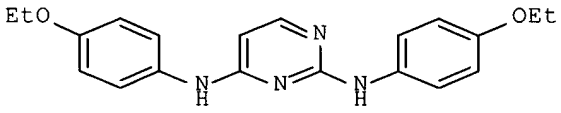
	US 2002-399673P	P	20020729		
	US 2002-434277P	P	20021217		
	US 2003-355543	A1	20030131		
	WO 2003-US3022	W	20030131		
	US 2004-858343	A3	20040601		

OS MARPAT 139:164801

GI



I



II

AB Title compds. I [wherein L1 and L2 = independently a bond or a linker; R2 = (un)substituted alkyl, (hetero)cycloalkyl, or (hetero)aryl; R4 = H or R2; R5 = R6 or (un)substituted alkyl, alkenyl, or alkynyl; R6 = independently H, an electroneg. group, protected alc. or thiol, haloalkyl(oxy), halo, CN, NC, OCN, SCN, NO, NO2, N3, or (un)substituted amino, sulfamoyl(oxy), acyl, carboxy, carbamoyl, (hetero)aryl(alkyl), etc.; with provisos and exclusions; and salts, hydrates, solvates, N-oxides, and prodrugs thereof] were prepared as inhibitors of the IgE and/or IgG receptor signaling cascades that lead to the release of chemical mediators. For example, coupling of 2,4-dichloropyrimidine with 4-ethoxyaniline in EtOH provided N2,N4-bis(4-ethoxyphenyl)-2,4- pyrimidinediamine (II). The latter inhibited degranulation of bone marrow derived mast cells challenged with anti-IgE and ionomycin with IC50 values of 4.5 μM and 4.4 μM, resp. Thus, I and their pharmaceutical compns. are useful in the treatment and prevention of diseases characterized by, caused by, or associated with the release of chemical mediators via degranulation of mast, basophil, neutrophil, or eosinophil cells and other processes effected by activation of the IgE and/or IgG receptor signaling cascades. The treatment and prevention of allergic diseases, low grade scarring, diseases associated with tissue destruction, diseases associated with tissue inflammation, inflammation, and scarring are targeted uses (no data).

IT 575477-06-4P

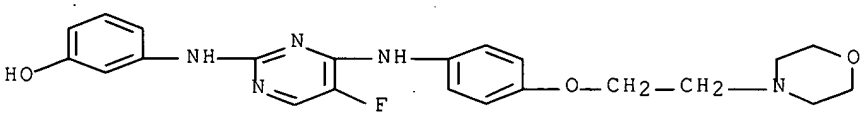
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(IgE and/or IgG receptor modulator; preparation of pyrimidinediamines as IgE

and/or IgG receptor modulators for treatment of allergic diseases, inflammatory conditions, and tissue destruction)

RN 575477-06-4 CAPLUS

CN Phenol, 3-[[5-fluoro-4-[[4-[2-(4-morpholinyl)ethoxy]phenyl]amino]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



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